STIC-EIC1600/2900 331973

From: STIC-EIC1600/2900@uspto.gov
Sent: Wednesday, May 19, 2010 1:41 PM
To: Ricci, Craig D.
Cc: STIC-EIC1600/2900
Subject: Confirmation Receipt: 1600 Search Request - 10/593,950

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Requester ----------

Name: **RICCI, CRAIG D**
Organization: **TC 1600**
Art Unit: **1628**
Employee Number:
Office Location:
Phone Number:
Email:

Request Detail ----------

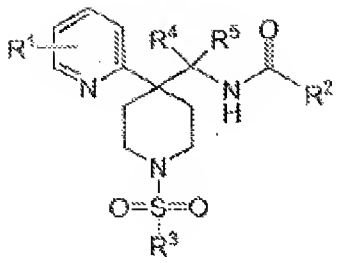
Attachment: **No**

Case/Application number: **10/593,950** PALM
Priority App. Filing Date:
Format for Search Results: **SCORE & EMAIL**

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:
Please search formula Ic in claim 11 in the claimset filed 3/03/2010. Thank you.



Ic

or a pharmaceutically acceptable salt thereof, wherein,

R^1 is selected from the group consisting of:

(1) hydrogen,

(2) C_{1-3}alkyl,

(3) fluoro,

(4) $-CF_3$,

(5) -morpholinyl, and

(6) $-O-C_{1-3}$alkyl;

R^2 is phenyl, which is substituted with R^{2a}, R^{2b} and R^{2c},

R^{2a}, R^{2b} and R^{2c} are independently selected from the group consisting of:

(1) hydrogen,

(2) halogen,

(3) $-C_{1-6}$alkyl,

(4) $-CF_3$,

(5) $-OCF_3$,

(6) $-OCHF_2$,

(7) $-SCF_3$,

(8) $-SCHF_2$, and

(9) $-NH_2$;

R^3 is C_{1-6}alkyl, which is unsubstituted or substituted with 1-6 halogen, hydroxyl, $-NR^{10}R^{11}$, or heterocycle, which is substituted with R^{2a}, R^{2b} and R^{2c};

STRUCTURE SEARCH

=> FILE REG

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 21 MAY 2010

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 MAY 2010 HIGHEST RN 1224835-85-1
DICTIONARY FILE UPDATES: 20 MAY 2010 HIGHEST RN 1224835-85-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

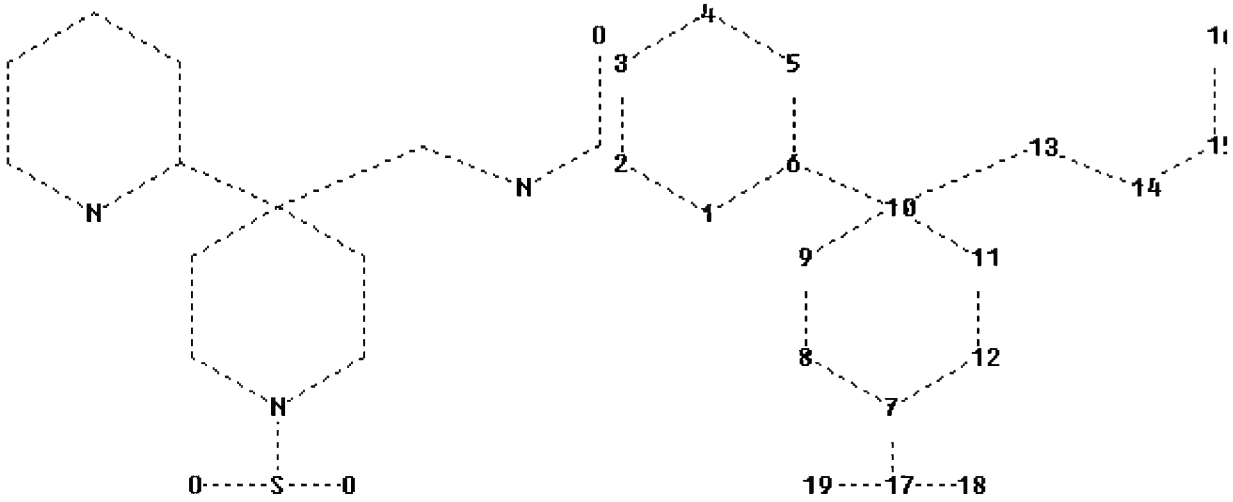
TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading LL3.str



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ring/chain nodes :
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chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
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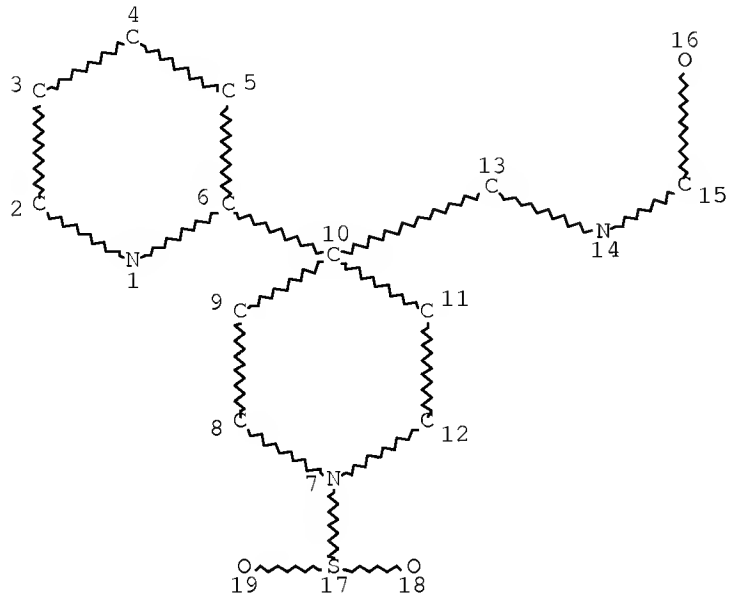
13-14 14-15 15-16 17-18 17-19

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

=> FILE HCAPLUS

=> D STAT QUE L3
L1 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

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STEREO ATTRIBUTES: NONE
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L3            7 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L2


=> FILE WPIX
FILE 'WPIX' ENTERED AT 16:02:15 ON 21 MAY 2010
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FILE LAST UPDATED:          14 MAY 2010   <20100514/UP>
MOST RECENT UPDATE:           201031      <201031/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
    and FI-Terms have been updated with reclassifications to
    end of March 2010.
    No update date (UP) has been created for the reclassified
    documents, but they can be identified by
    specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)
    STN USER DOCUMENTATION, PLEASE VISIT:
    http://www.stn-international.com/stn_dwpi.html <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS  <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

=> D STAT QUE L42
L38             STR
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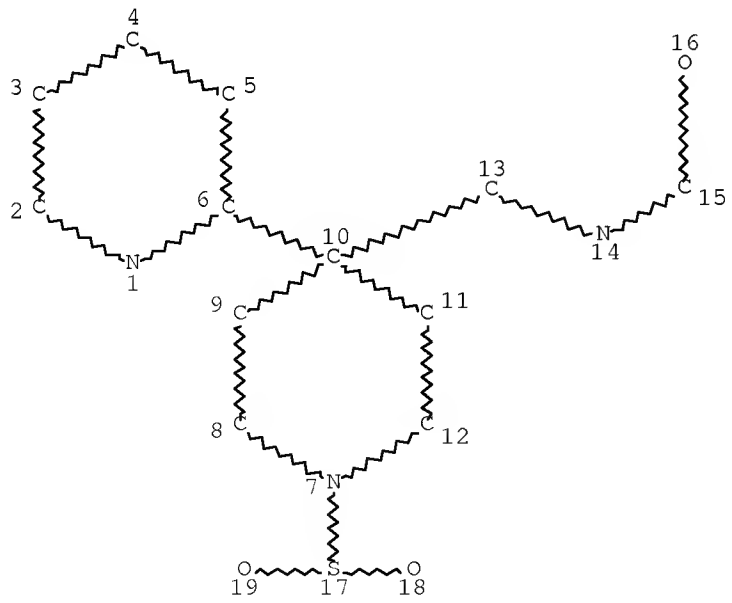

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  19

STEREO ATTRIBUTES: NONE
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L42           3 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  L41/DCR


=> FILE MARPAT
FILE 'MARPAT' ENTERED AT 16:02:29 ON 21 MAY 2010
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FILE CONTENT: 1961-PRESENT VOL 152 ISS 20 (20100514/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US  20100081847 01 APR 2010
DE 102008042149 18 MAR 2010
EP      2168957 31 MAR 2010
JP   2010074011 02 APR 2010
WO   2010036977 01 APR 2010
GB      2463568 24 MAR 2010
FR      2936146 26 MAR 2010
RU      2385893 10 APR 2010
CA      2678295 05 MAR 2010

The new MARPAT User Guide is now available at:
 http://www.cas.org/support/stngen/stndoc/marpat.html.

=> D STAT QUE L62
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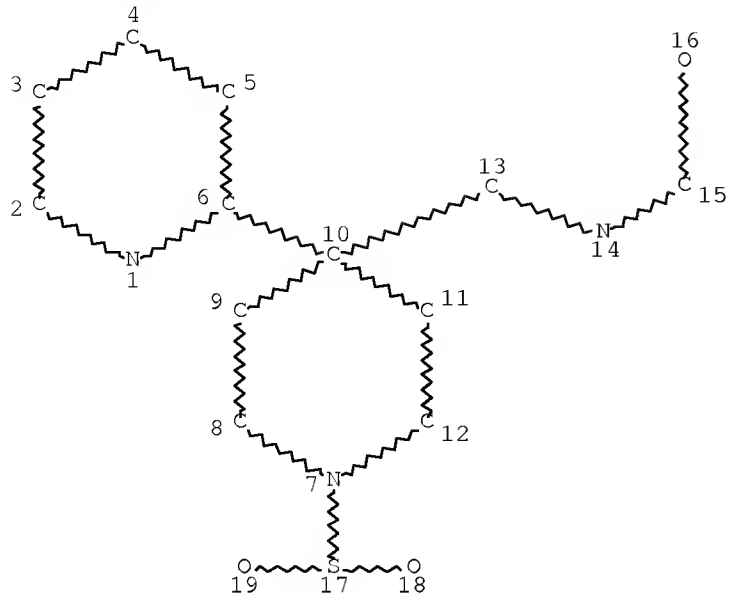

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L62 12 SEA FILE=MARPAT SSS FUL L38

100.0% PROCESSED 10376 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.02

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FILE 'HCAPLUS' ENTERED AT 16:02:50 ON 21 MAY 2010
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PROCESSING COMPLETED FOR L3
PROCESSING COMPLETED FOR L42
PROCESSING COMPLETED FOR L62

L63 15 DUP REMOVE L3 L42 L62 (7 DUPLICATES REMOVED)
ANSWERS '1-7' FROM FILE HCAPLUS
ANSWERS '8-15' FROM FILE MARPAT

L63 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:509742 HCAPLUS Full-text
DOCUMENT NUMBER: 146:500900
TITLE: Preparation of piperidine glycine transporter inhibitors
INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg, Scott E.; Nolt, Brad M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited
SOURCE: PCT Int. Appl., 85pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007053400	A2	20070510	WO 2006-US41699	20061027
WO 2007053400	A3	20070920		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006309050	A1	20070510	AU 2006-309050	20061027
CA 2627177	A1	20070510	CA 2006-2627177	20061027
EP 1942893	A2	20080716	EP 2006-826685	20061027
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009513653	T	20090402	JP 2008-537924	20061027
US 20090270451	A1	20091029	US 2008-84027	20080423
PRIORITY APPLN. INFO.:			US 2005-731010P P	20051028
			WO 2006-US41699 W	20061027

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 146:500900
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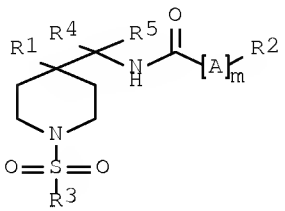

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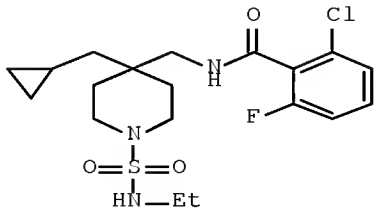
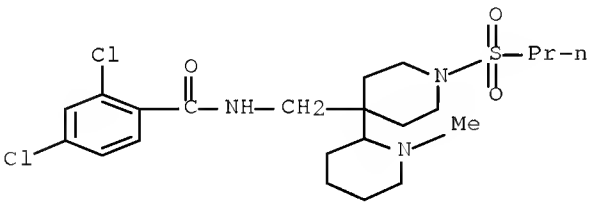


II

AB The title compds. I [R1 = (CH2)nR1a (wherein n = 0-6; R1a = (un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R2 = (un)substituted Ph, heterocyclyl, cycloalkyl, etc.; R3 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5 = H, alkyl; or R4 and R5 taken together form a cycloalkyl ring; A = O, NR10 (R10 = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [14C]glycine, generally with an IC50 value of less than about 10 μM. Pharmaceutical composition comprising the compound I is disclosed.

IT 936481-41-3P 936481-42-4P 936481-43-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine glycine transporter inhibitors)

RN 936481-41-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



RN 936481-42-4 HCAPLUS
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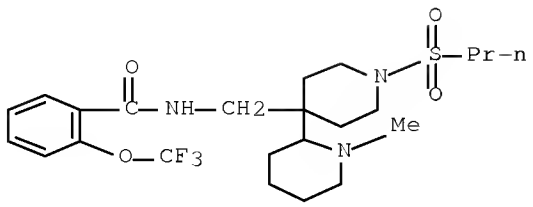

RN 936481-43-5 HCAPLUS
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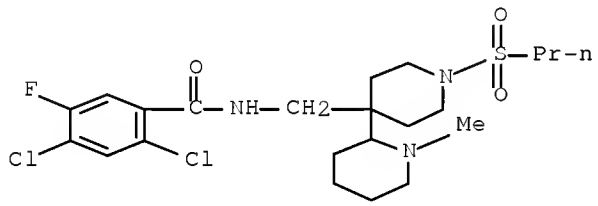

L63 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2007:410347 HCAPLUS Full-text
DOCUMENT NUMBER: 146:421847
TITLE: Preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging
INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007041025	A2	20070412	WO 2006-US36989	20060925
WO 2007041025	A3	20070830		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

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     EP 1942733           A2    20080716    EP 2006-815187          20060925
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             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     US 20090269278       A1    20091029    US 2008-991727          20080305
PRIORITY APPLN. INFO.:                     US 2005-721782P     P   20050929
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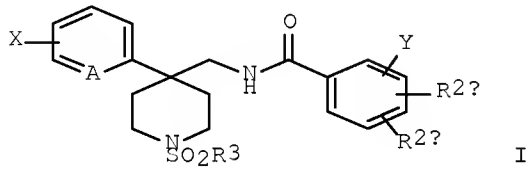

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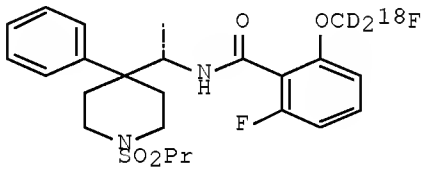


II

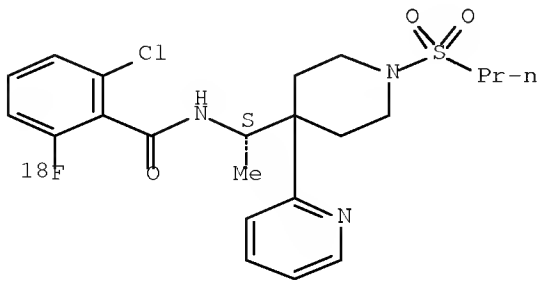
AB Title compds. (I; A = N, CH; R2a, R2b = H, F, Cl, Br; R3 = alkyl, fluoroalkyl; R4 = H, alkyl; 1 of X, Y = 18F, O11CH3, OCD218F, the other = H), were prepared Thus, title compound (II) was prepared by treatment of the corresponding phenol derivative with a product prepared from [18F]F- and CD2Br2 in the presence of Cs2CO3 in DMF at 100°.

IT 934200-19-8P 934200-20-1P 934200-21-2P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-19-8 HCAPLUS

CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 934200-20-1 HCAPLUS
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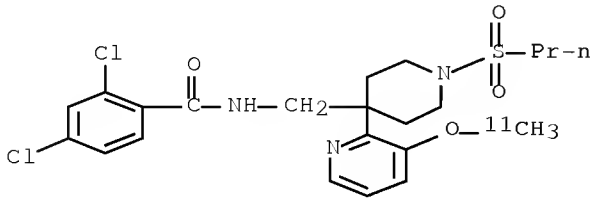

RN 934200-21-2 HCAPLUS
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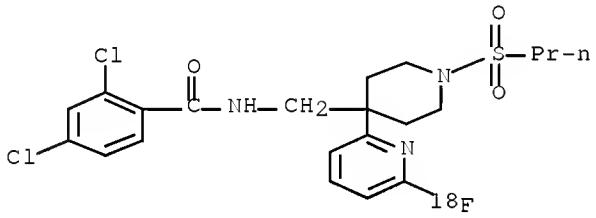
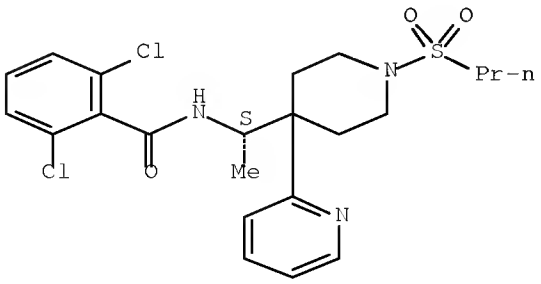


IT 934200-23-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)
RN 934200-23-4 HCAPLUS
CN Benzamide, 2,6-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

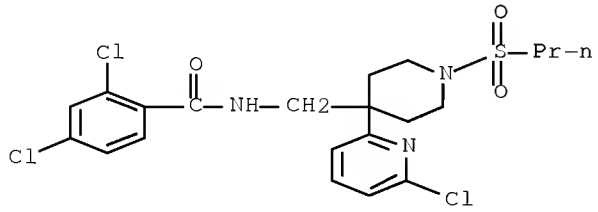


IT 866559-78-6P 866559-80-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1

glycine transporter inhibitors for diagnostic imaging)

RN 866559-78-6 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-80-0 HCAPLUS

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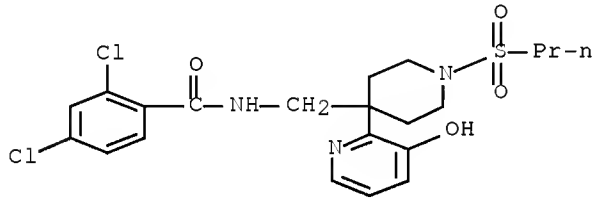

L63 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:631088 HCAPLUS Full-text

DOCUMENT NUMBER: 145:103566

TITLE: Preparation of piperidine and azetidine derivatives as GlyT1 inhibitors

INVENTOR(S): Blackaby, Wesley Peter; Fletcher, Stephen Robert; Jennings, Andrew; Lewis, Richard Thomas; Naylor, Elizabeth Mary; Street, Leslie Joseph; Thomson, Joanne

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067529	A1	20060629	WO 2005-GB50258	20051221

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    CA 2592345           A1    20060629    CA 2005-2592345        20051221
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    JP 2008524316        T     20080710    JP 2007-547679         20051221
    US 20080090796       A1    20080417    US 2007-792111         20070530
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PRIORITY APPLN. INFO.:                       GB 2004-27987       A 20041221
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                                             WO 2005-GB50258     W 20051221
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):         CASREACT 145:103566; MARPAT 145:103566
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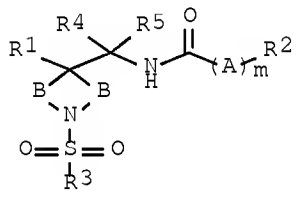

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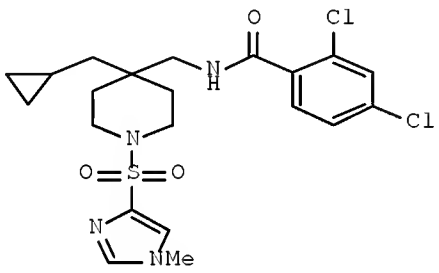

II

AB Title compds. represented by the formula I [wherein A = O or NR10; B = (CH2)n; n = 1 or 2; R1 = (CH2)p-R1a; p = 0-6; R1a = (halo)alkyl, (un)substituted Ph, piperidinyl, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = (un)substituted heterocyclyl; R4, R5 = H, (halo)alkyl, hydroxyalkyl or R4R5 = cyclyl; R10 = H, (cyclo)alkyl, benzyl, etc.; m = 0 or 1; and pharmaceutically acceptable salts or enantiomers and diastereomers thereof] were prepared as GlyT1 (glycine transporters) inhibitors (no data). For example, II was provided in a multi-step synthesis starting from tert-Bu 4-cyanopiperidine-1-carboxylate. I and their pharmaceutical compns. are useful as GlyT1 inhibitors for the treatment of schizophrenia (no data).


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IT   895132-42-0P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of piperidine and azetidine derivs. as GlyT1 inhibitors for
        treatment of schizophrenia)
RN   895132-42-0  HCAPLUS
CN   Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-
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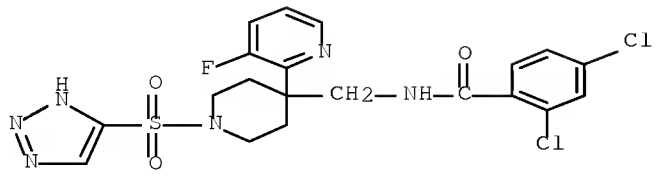

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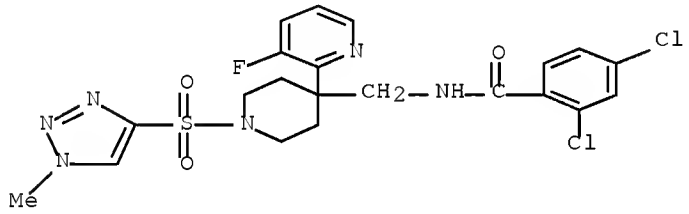
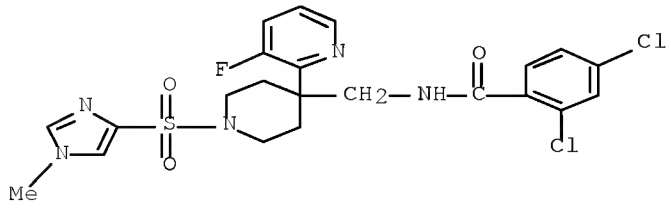
895132-61-3P 895132-62-4P 895132-63-5P
895132-64-6P 895132-65-7P 895132-66-8P
895132-67-9P 895132-68-0P 895132-69-1P
895132-70-4P 895132-71-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine and azetidine derivs. as GlyT1 inhibitors for treatment of schizophrenia)

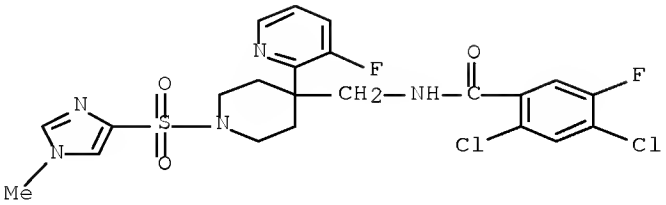
RN 895132-47-5 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



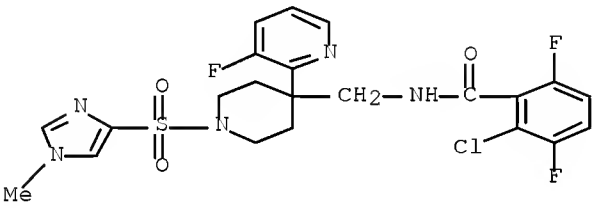
RN 895132-61-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-62-4 HCAPLUS
CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-63-5 HCAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-64-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

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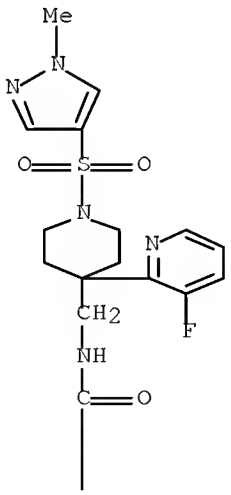

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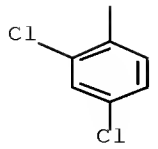

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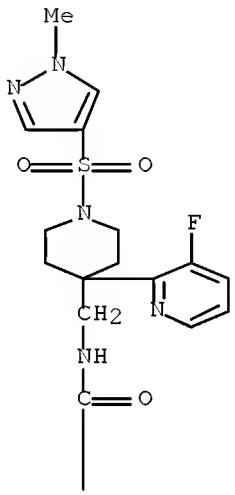
CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A



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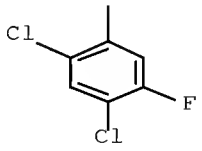

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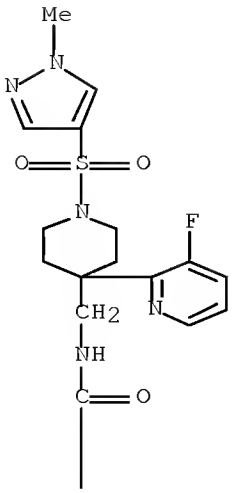
CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A



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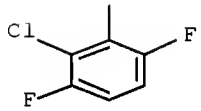

RN 895132-67-9 HCAPLUS
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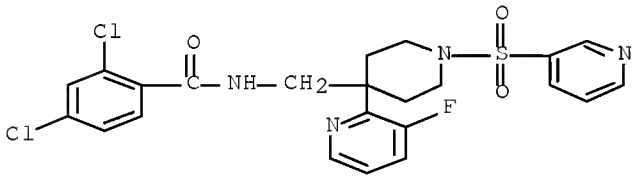
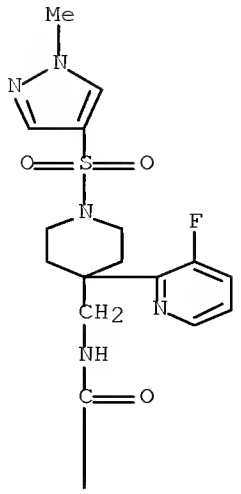


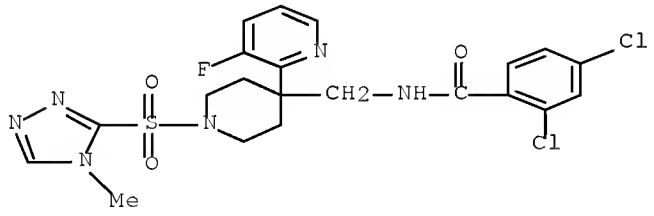
RN 895132-68-0 HCAPLUS
CN Cyclohexanecarboxamide, N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 895132-69-1 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(4-methyl-4H-1,2,4-triazol-3-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



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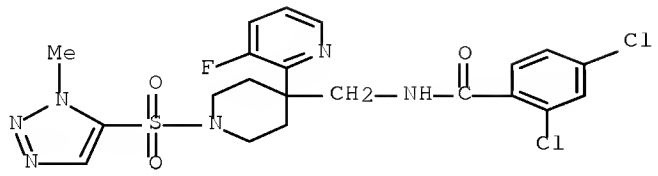

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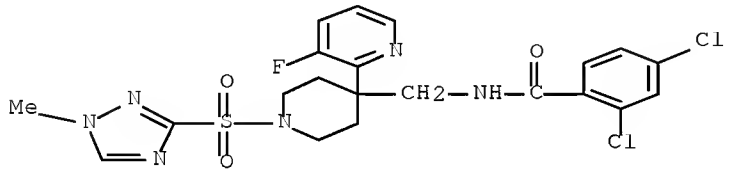

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2005:1103490 HCAPLUS Full-text
DOCUMENT NUMBER: 143:386922
TITLE: Preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for the treatment of psychiatric disorders
INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David; Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao, Zhijian
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094514	A2	20051013	WO 2005-US9810	20050323
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OTHER SOURCE(S):         CASREACT 143:386922; MARPAT 143:386922
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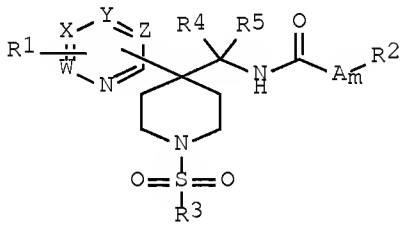

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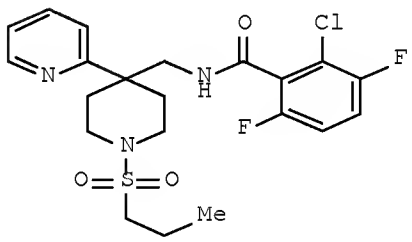


II

AB Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A = O, (un)substituted N; m = 0-1] are prepared For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO2Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.

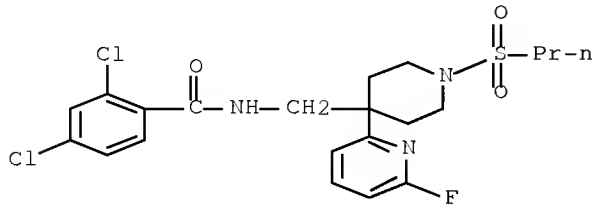
IT 866559-77-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-77-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



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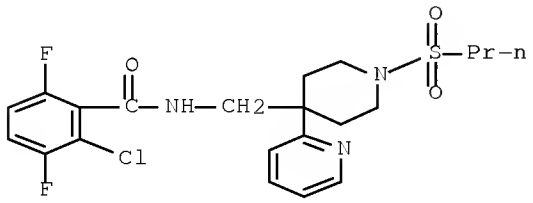
866559-65-1P 866559-66-2P 866559-67-3P
866559-68-4P 866559-69-5P 866559-70-8P
866559-71-9P 866559-72-0P 866559-73-1P
866559-74-2P 866559-75-3P 866559-76-4P
866559-78-6P 866559-79-7P 866559-80-0P
866559-81-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

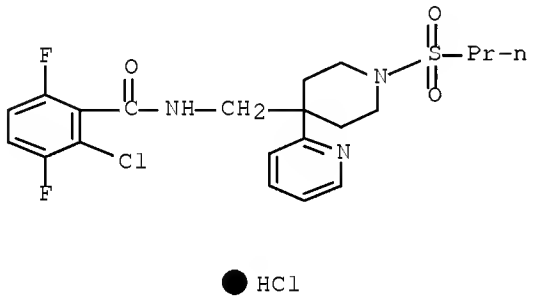
RN 866558-67-0 HCAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



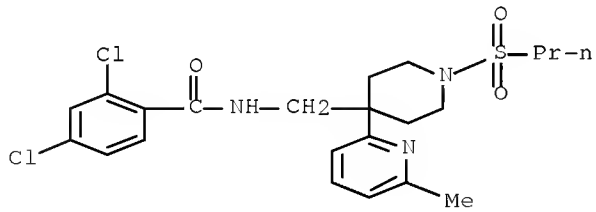
RN 866558-68-1 HCAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 866558-69-2 HCAPLUS

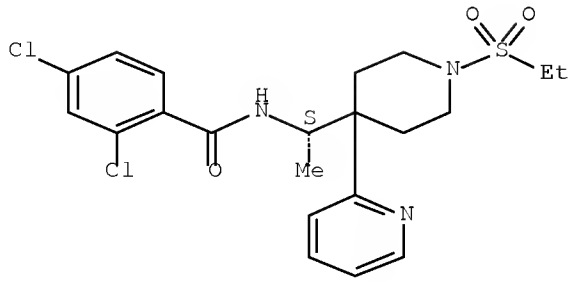
CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



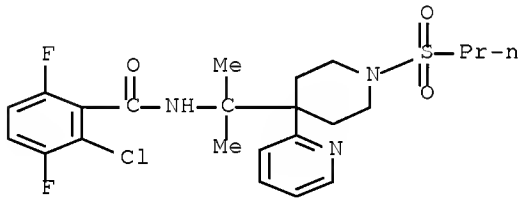
RN 866558-70-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

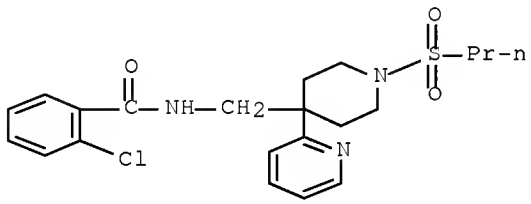
Absolute stereochemistry.



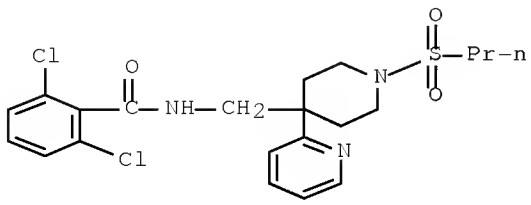
RN 866558-71-6 HCAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



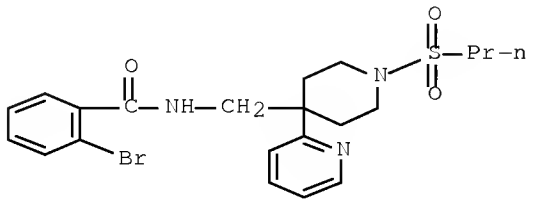
RN 866558-72-7 HCAPLUS
CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



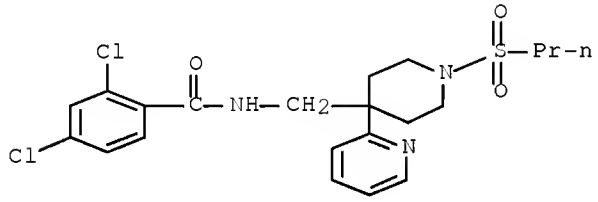
RN 866558-73-8 HCAPLUS
CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



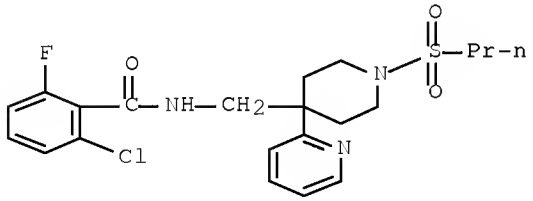
RN 866558-74-9 HCAPLUS
CN Benzamide, 2-bromo-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



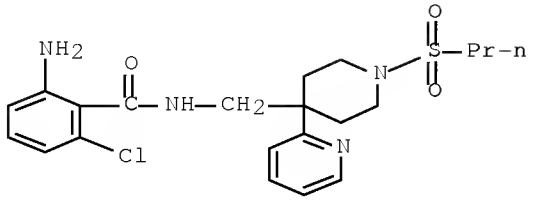
RN 866558-75-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



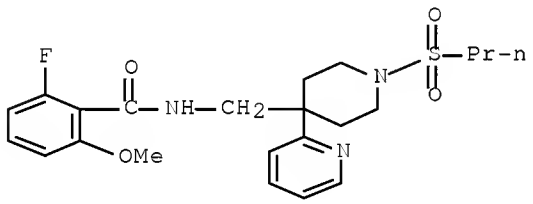
RN 866558-76-1 HCAPLUS
CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



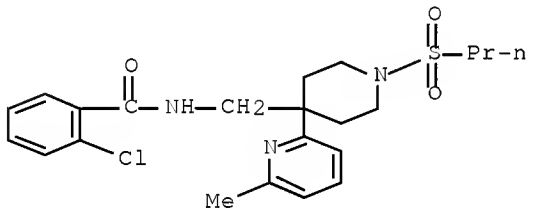
RN 866558-77-2 HCAPLUS
CN Benzamide, 2-amino-6-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



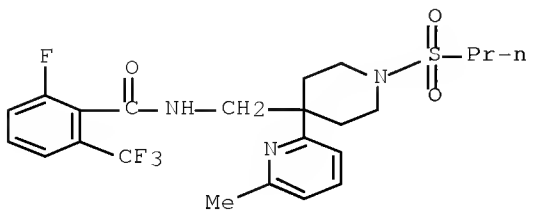
RN 866558-78-3 HCAPLUS
CN Benzamide, 2-fluoro-6-methoxy-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



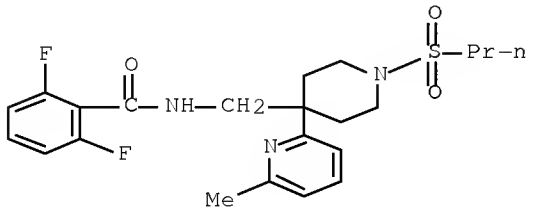
RN 866558-79-4 HCAPLUS
CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



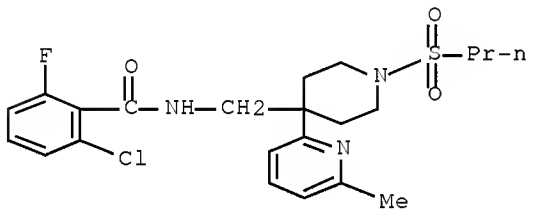
RN 866558-80-7 HCAPLUS
CN Benzamide, 2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-(trifluoromethyl)- (CA INDEX NAME)



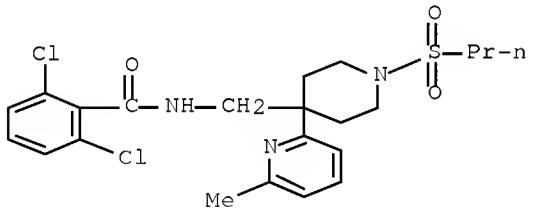
RN 866558-81-8 HCAPLUS
CN Benzamide, 2,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



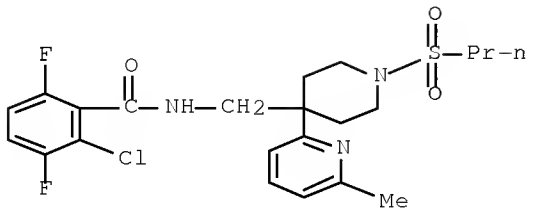
RN 866558-82-9 HCAPLUS
CN Benzamide, 2-chloro-6-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



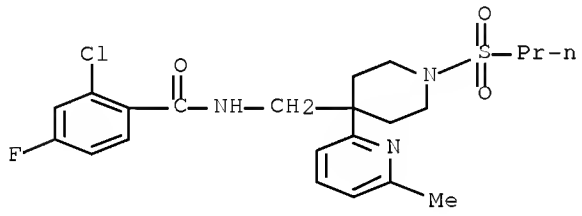
RN 866558-83-0 HCAPLUS
CN Benzamide, 2,6-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



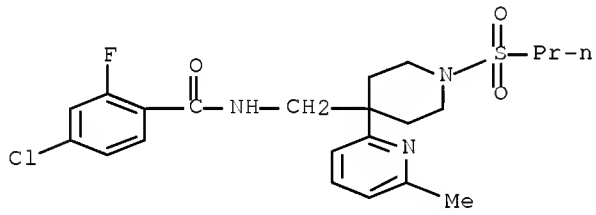
RN 866558-84-1 HCAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



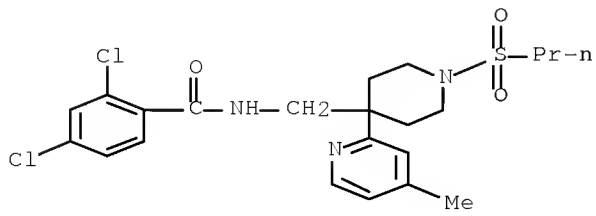
RN 866558-85-2 HCAPLUS
CN Benzamide, 2-chloro-4-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



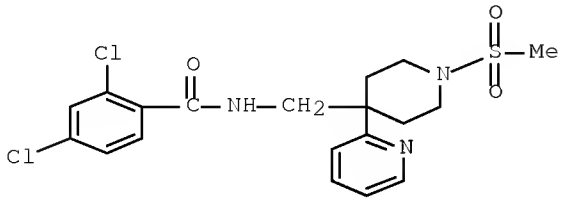
RN 866558-86-3 HCAPLUS
CN Benzamide, 4-chloro-2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



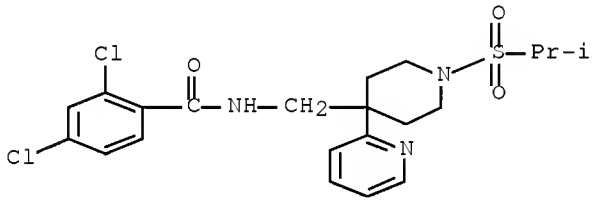
RN 866558-87-4 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



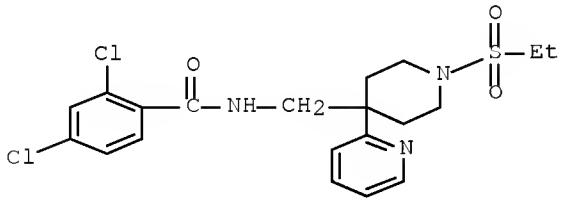
RN 866558-88-5 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(methylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



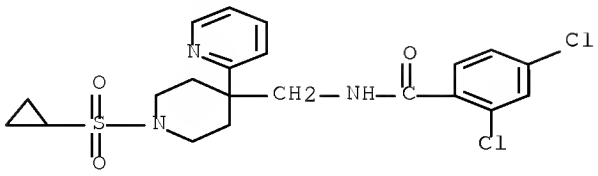
RN 866558-90-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(1-methylethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



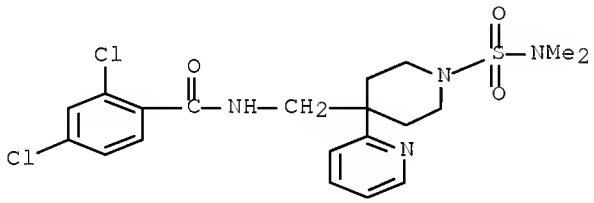
RN 866558-91-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



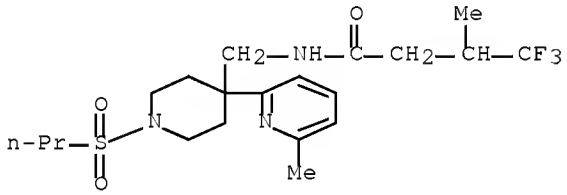
RN 866558-92-1 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(cyclopropylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



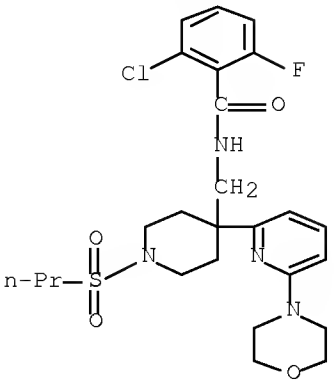
RN 866558-97-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(dimethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



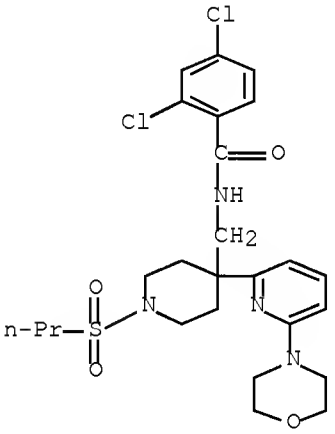
RN 866558-98-7 HCAPLUS
CN Butanamide, 4,4,4-trifluoro-3-methyl-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



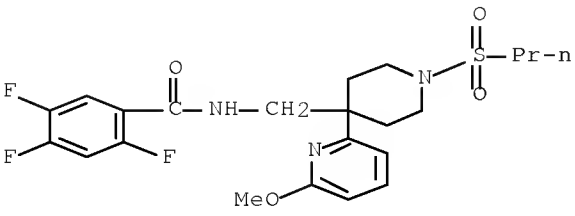
RN 866558-99-8 HCAPLUS
CN Benzamide, 2-chloro-6-fluoro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-00-4 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

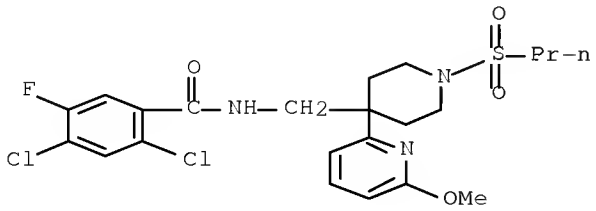


RN 866559-01-5 HCAPLUS
CN Benzamide, 2,4,5-trifluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

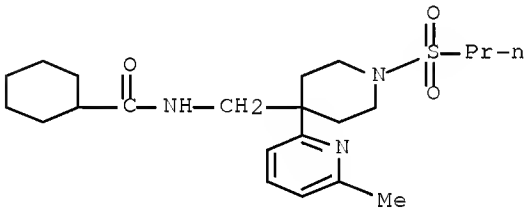


RN 866559-02-6 HCAPLUS
CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-

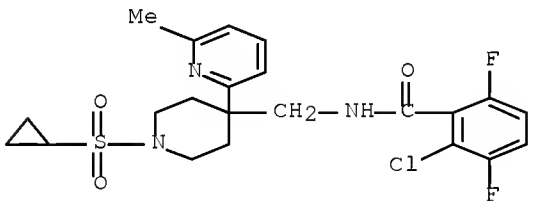
(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



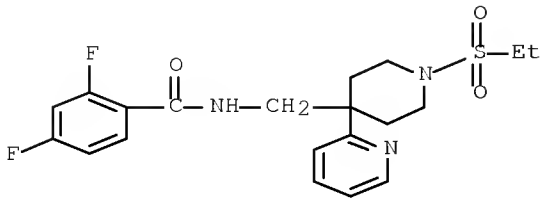
RN 866559-03-7 HCAPLUS
CN Cyclohexanecarboxamide, N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



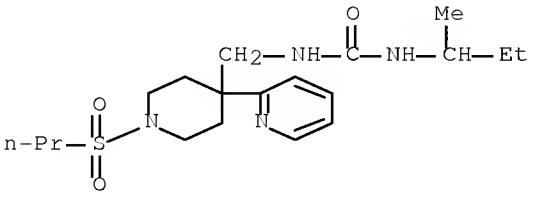
RN 866559-04-8 HCAPLUS
CN Benzamide, 2-chloro-N-[[1-(cyclopropylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)



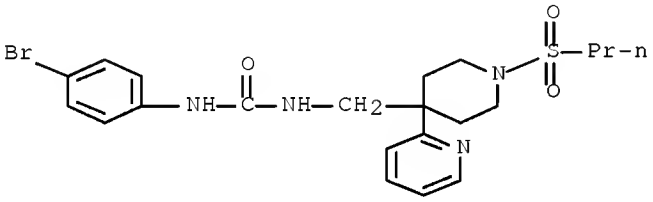
RN 866559-05-9 HCAPLUS
CN Benzamide, N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-difluoro- (CA INDEX NAME)



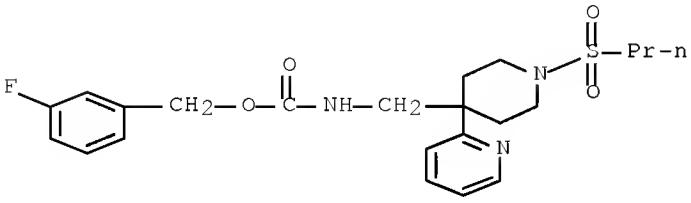
RN 866559-06-0 HCAPLUS
CN Urea, N-(1-methylpropyl)-N'-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



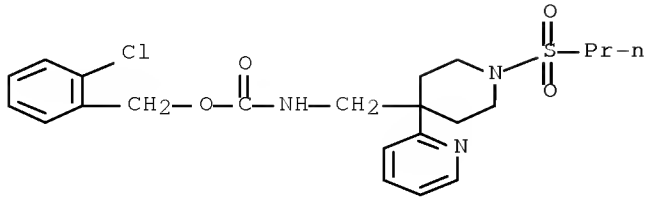
RN 866559-07-1 HCAPLUS
CN Urea, N-(4-bromophenyl)-N'-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



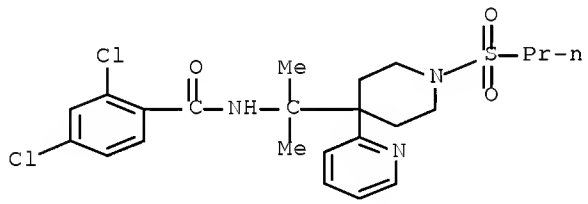
RN 866559-08-2 HCAPLUS
CN Carbamic acid, [[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, (3-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



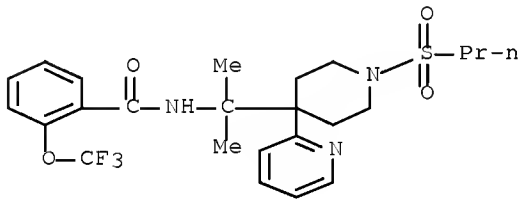
RN 866559-09-3 HCAPLUS
CN Carbamic acid, [[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, (2-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



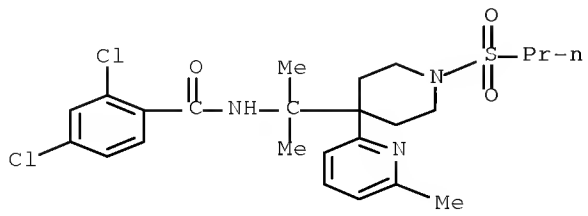
RN 866559-10-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



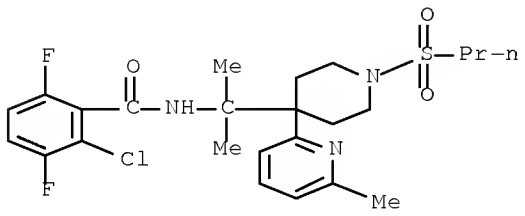
RN 866559-11-7 HCAPLUS
CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 866559-12-8 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

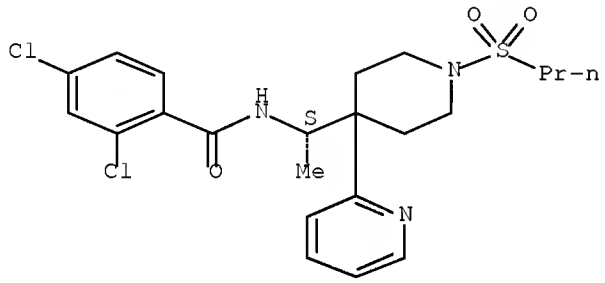


RN 866559-13-9 HCAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



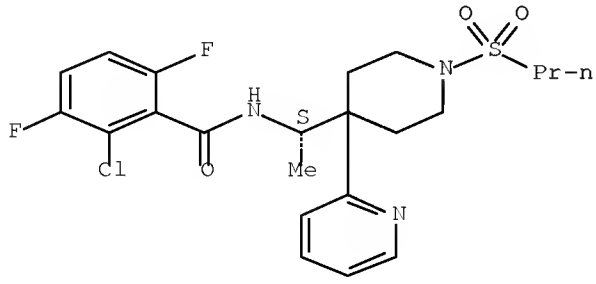
RN 866559-14-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



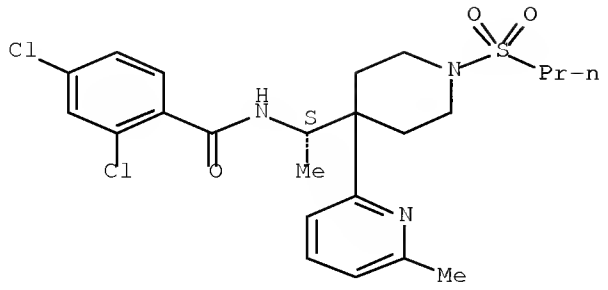
RN 866559-15-1 HCAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



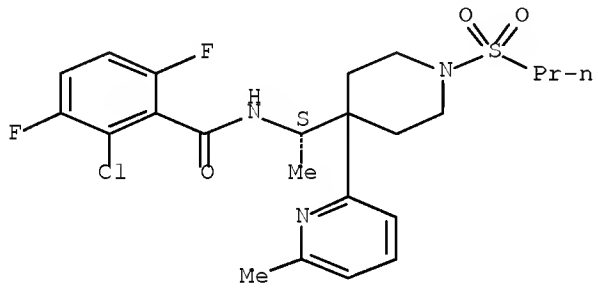
RN 866559-16-2 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



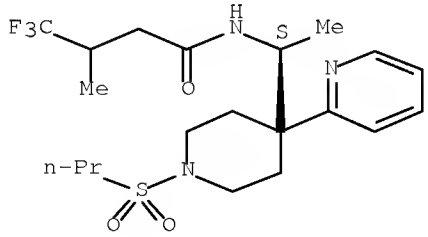
RN 866559-17-3 HCAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



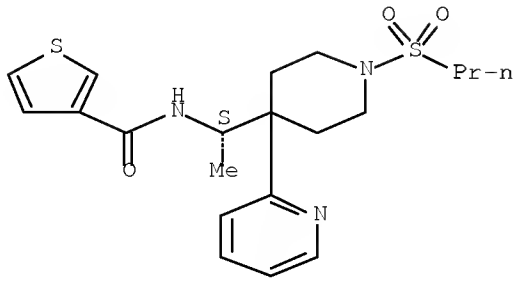
RN 866559-18-4 HCAPLUS
CN Butanamide, 4,4,4-trifluoro-3-methyl-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



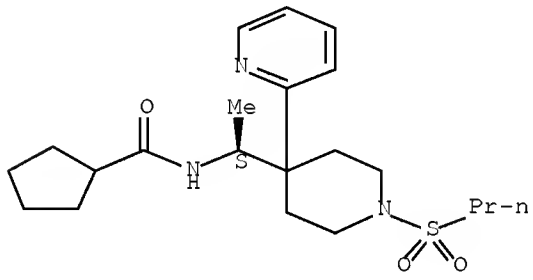
RN 866559-19-5 HCAPLUS
CN 3-Thiophenecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



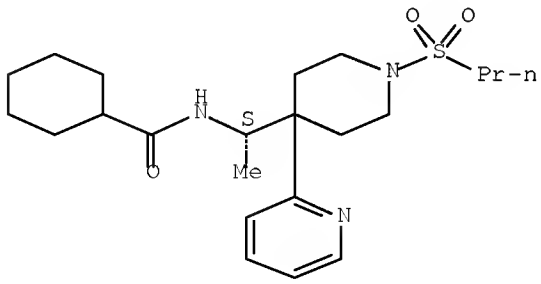
RN 866559-20-8 HCAPLUS
CN Cyclopentanecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



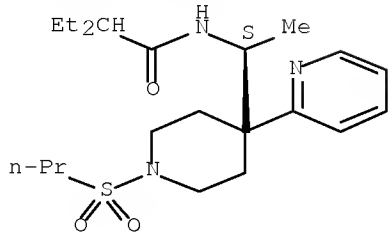
RN 866559-21-9 HCAPLUS
CN Cyclohexanecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



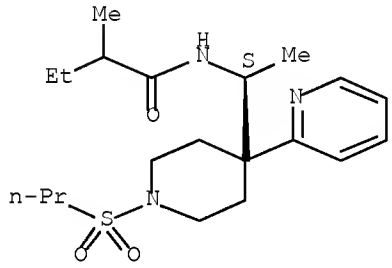
RN 866559-22-0 HCAPLUS
CN Butanamide, 2-ethyl-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



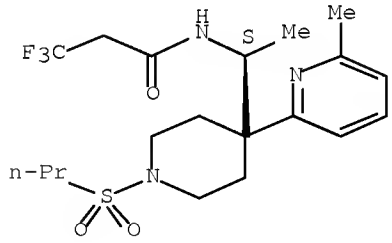
RN 866559-23-1 HCAPLUS
CN Butanamide, 2-methyl-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



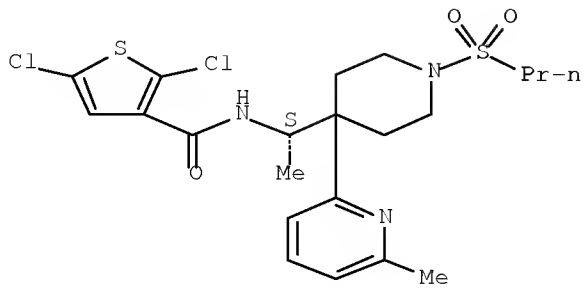
RN 866559-24-2 HCAPLUS
CN Propanamide, 3,3,3-trifluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



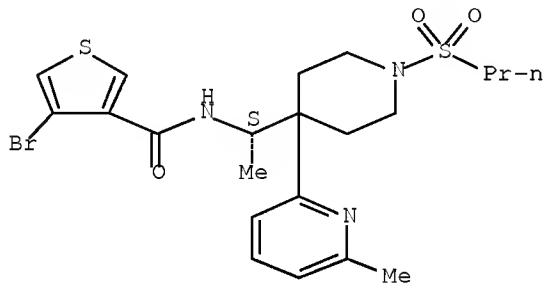
RN 866559-25-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



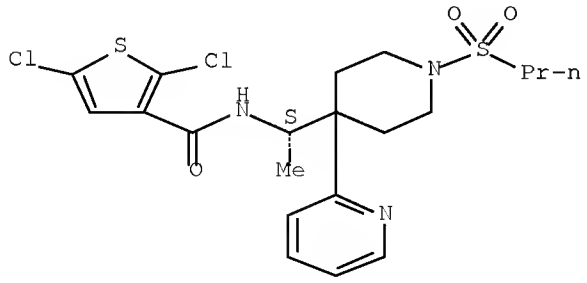
RN 866559-26-4 HCAPLUS
CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



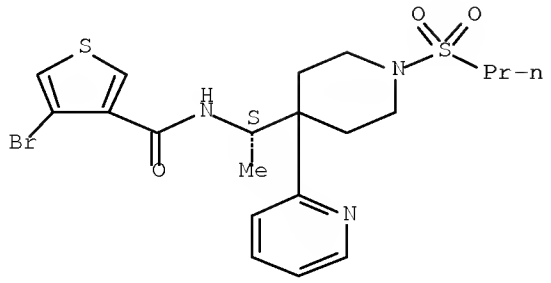
RN 866559-27-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



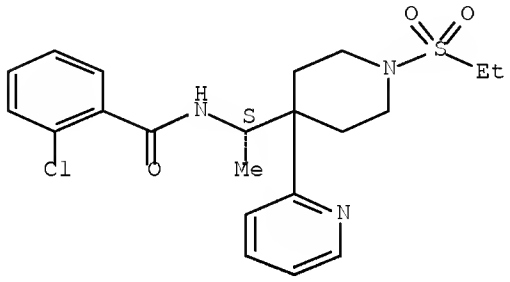
RN 866559-28-6 HCAPLUS
CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



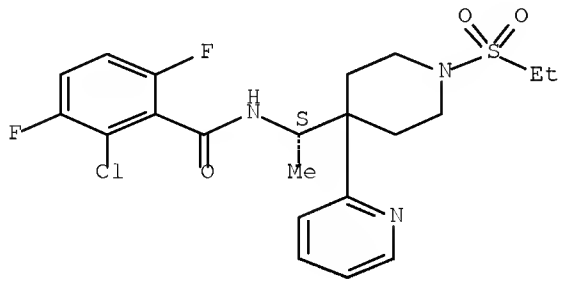
RN 866559-33-3 HCAPLUS
CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



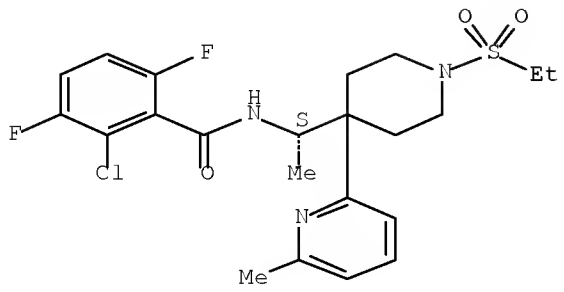
RN 866559-34-4 HCAPLUS
CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



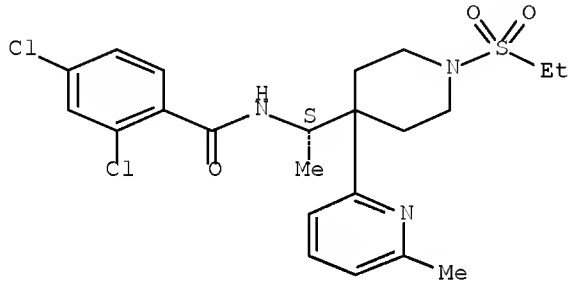
RN 866559-35-5 HCAPLUS
CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

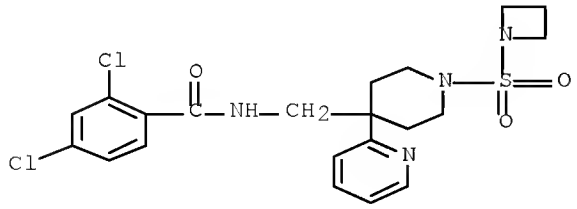


RN 866559-36-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

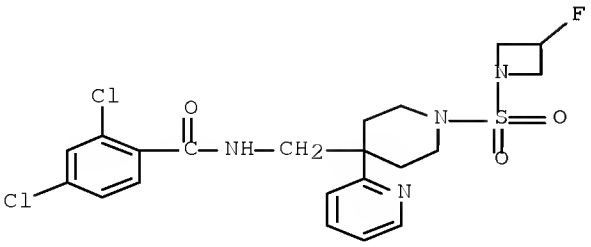
Absolute stereochemistry.



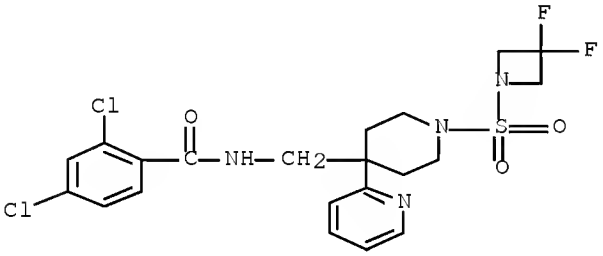
RN 866559-37-7 HCAPLUS
CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



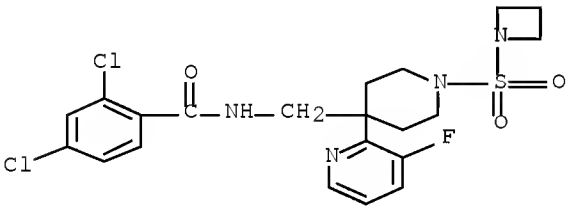
RN 866559-38-8 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoro-1-azetidinyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



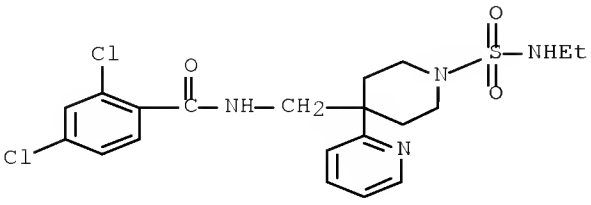
RN 866559-39-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(3,3-difluoro-1-azetidinyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



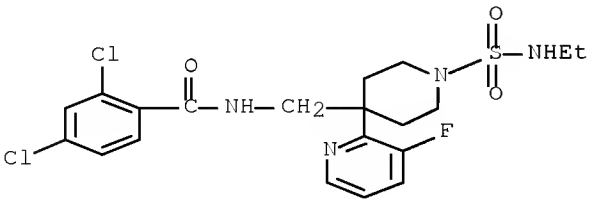
RN 866559-40-2 HCAPLUS
CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



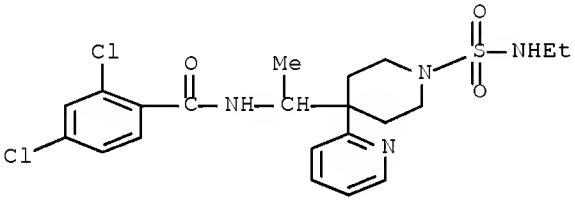
RN 866559-41-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



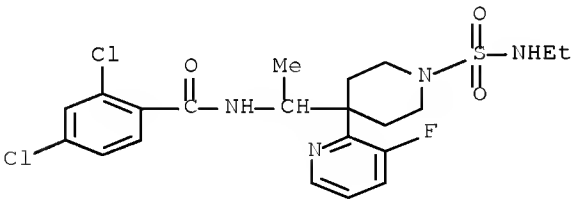
RN 866559-42-4 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



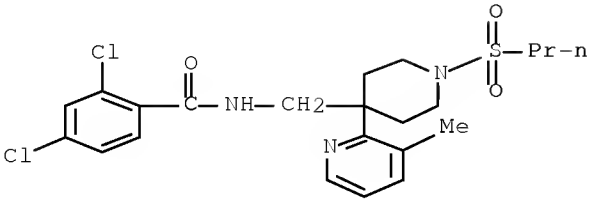
RN 866559-43-5 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



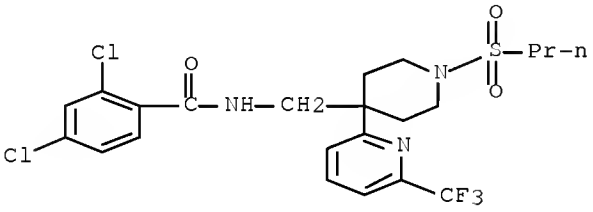
RN 866559-44-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



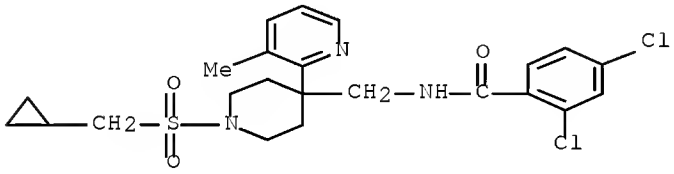
RN 866559-45-7 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



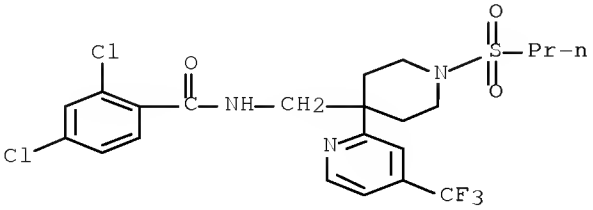
RN 866559-46-8 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-47-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-methyl-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

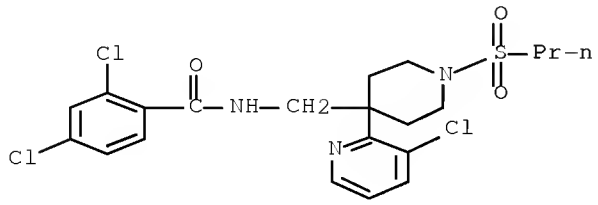


RN 866559-48-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



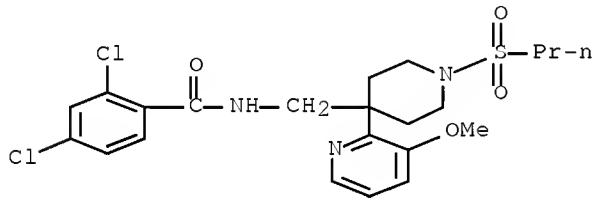
RN 866559-49-1 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



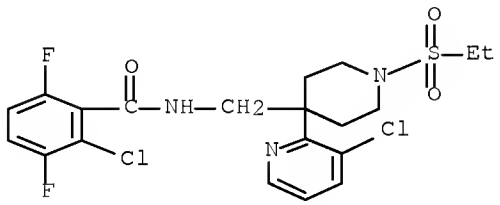
RN 866559-50-4 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



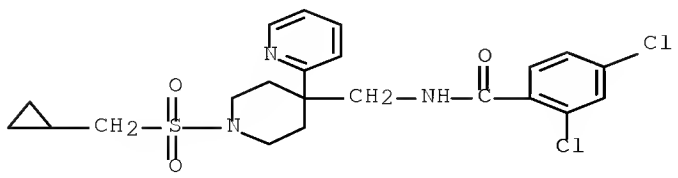
RN 866559-51-5 HCAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-chloro-2-pyridinyl)-1-(ethylsulfonyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

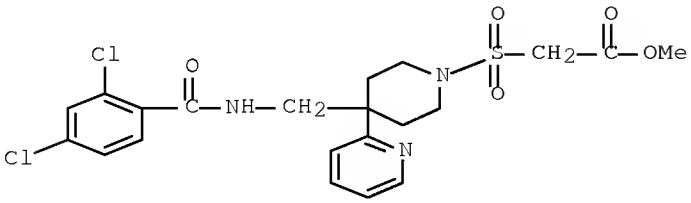


RN 866559-52-6 HCAPLUS

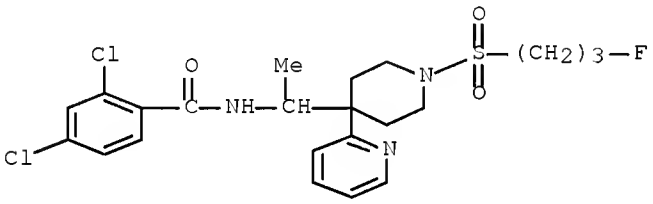
CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



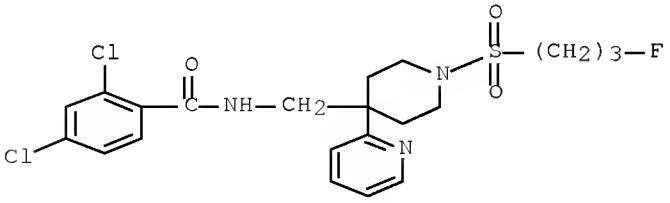
RN 866559-53-7 HCAPLUS
CN Acetic acid, 2-[[4-[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)



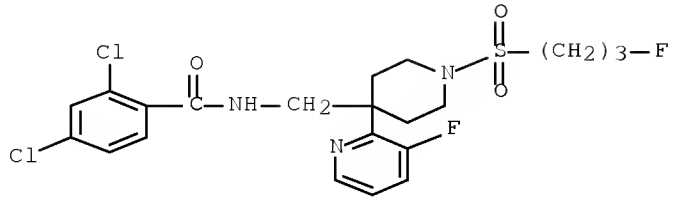
RN 866559-55-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



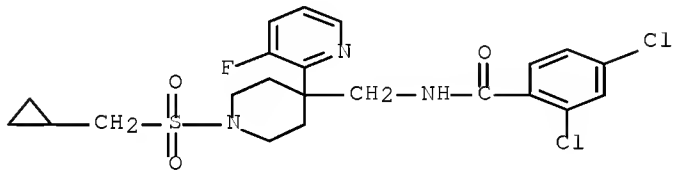
RN 866559-56-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



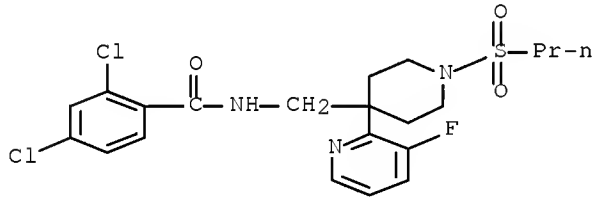
RN 866559-57-1 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



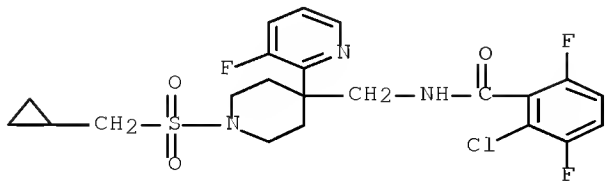
RN 866559-58-2 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-59-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

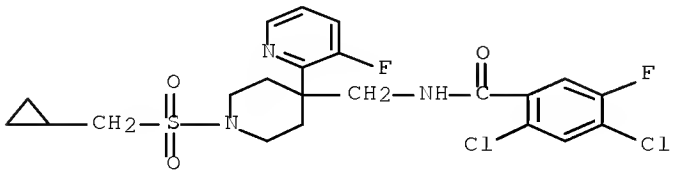


RN 866559-60-6 HCAPLUS
CN Benzamide, 2-chloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

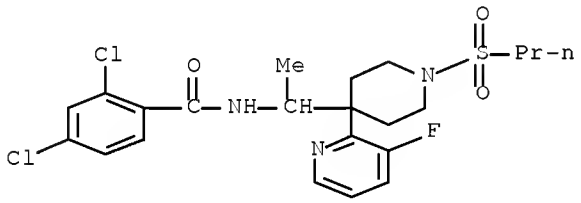


RN 866559-61-7 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-

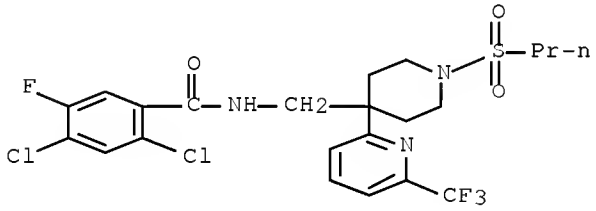
pyridinyl)-4-piperidinyl]methyl]-5-fluoro- (CA INDEX NAME)



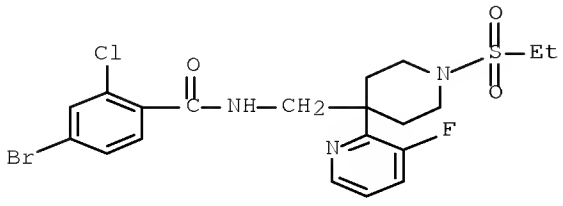
RN 866559-62-8 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



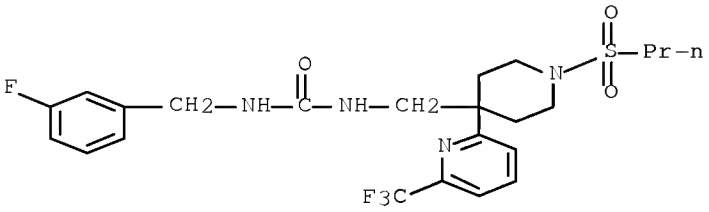
RN 866559-64-0 HCAPLUS
CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



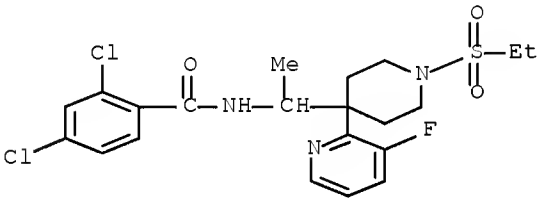
RN 866559-65-1 HCAPLUS
CN Benzamide, 4-bromo-2-chloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



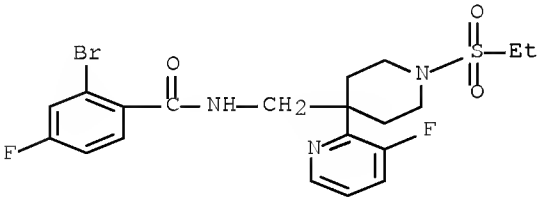
RN 866559-66-2 HCAPLUS
CN Urea, N-[(3-fluorophenyl)methyl]-N'-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



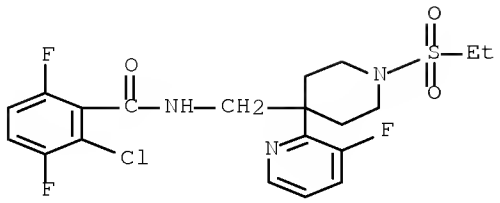
RN 866559-67-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



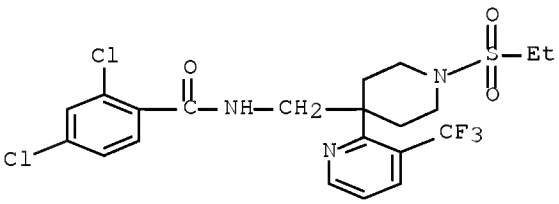
RN 866559-68-4 HCAPLUS
CN Benzamide, 2-bromo-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-4-fluoro- (CA INDEX NAME)



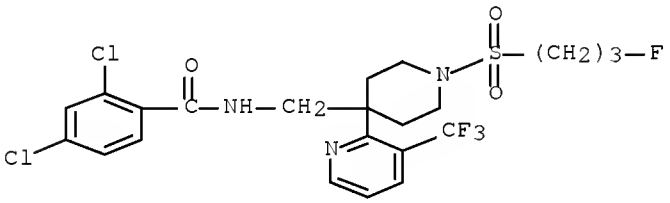
RN 866559-69-5 HCAPLUS
CN Benzamide, 2-chloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)



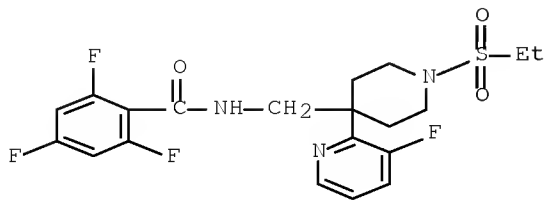
RN 866559-70-8 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



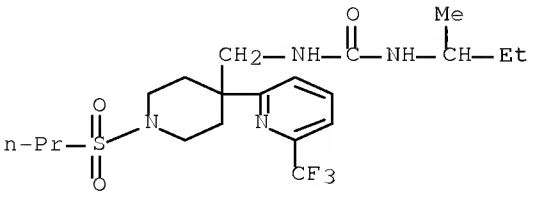
RN 866559-71-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



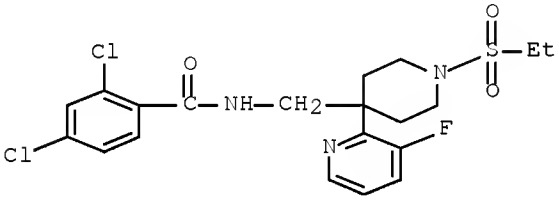
RN 866559-72-0 HCAPLUS
CN Benzamide, N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4,6-trifluoro- (CA INDEX NAME)



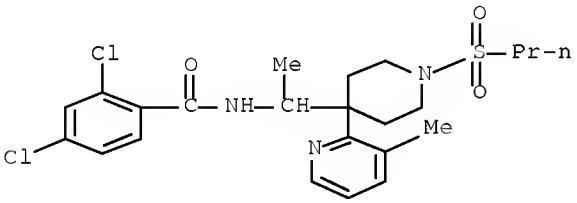
RN 866559-73-1 HCAPLUS
CN Urea, N-(1-methylpropyl)-N'-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



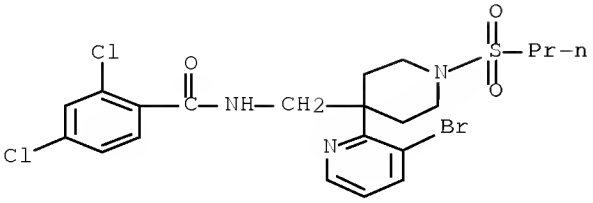
RN 866559-74-2 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



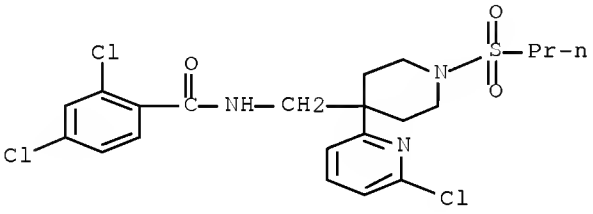
RN 866559-75-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



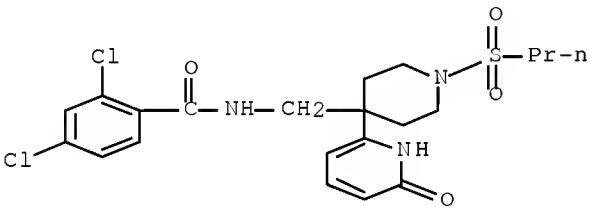
RN 866559-76-4 HCAPLUS
CN Benzamide, N-[[4-(3-bromo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



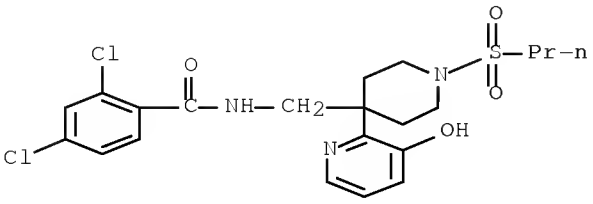
RN 866559-78-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-79-7 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

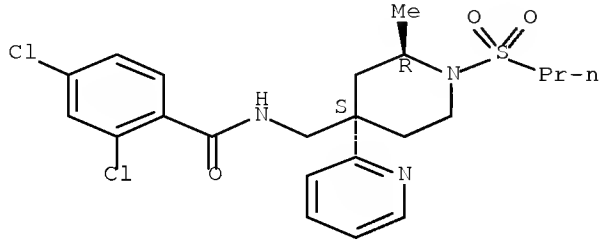


RN 866559-80-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



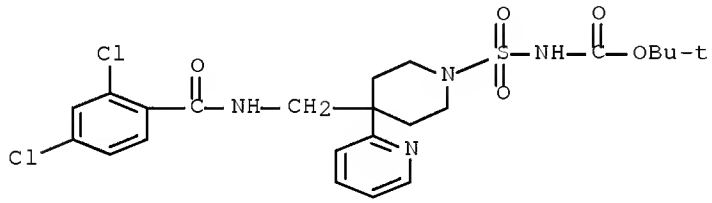
RN 866559-81-1 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

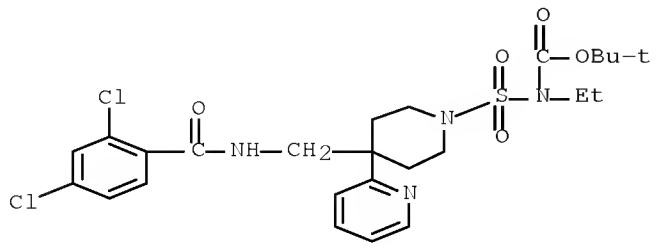


IT 866559-91-3P 866559-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-91-3 HCAPLUS
CN Carbamic acid, [[4-[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 866559-92-4 HCAPLUS
CN Carbamic acid, [[4-[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



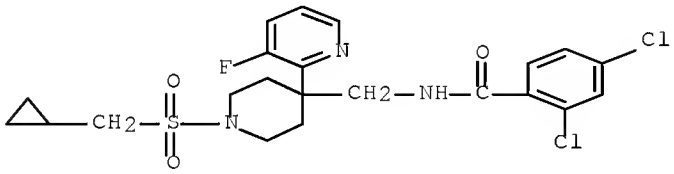
OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L63 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:420053 HCAPLUS Full-text
DOCUMENT NUMBER: 150:554933
TITLE: Optimisation of a series of potent, selective and orally bioavailable GlyT1 inhibitors
AUTHOR(S): Thomson, Joanne L.; Blackaby, Wesley P.; Jennings, Andrew S. R.; Goodacre, Simon C.; Pike, Andrew; Thomas, Steve; Brown, Terry A.; Smith, Alison; Pillai, Gopalan; Street, Leslie J.; Lewis, Richard T.
CORPORATE SOURCE: Department of Medicinal Chemistry, Neuroscience Research Centre, Merck Sharp and Dohme, Harlow, Essex, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(8), 2235-2239
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:554933

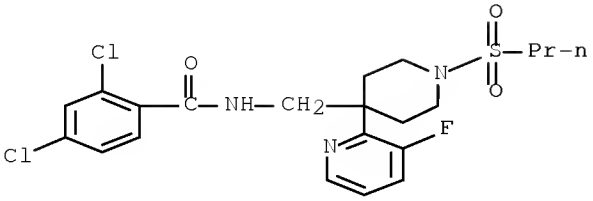
AB A series of heterocyclic sulfonamides have been developed which are potent and selective inhibitors of hGlyT1. SAR studies to optimize the in vitro and in vivo properties are described. Optimization of the central scaffold resulted in cyclohexane sulfones 28 and 29, which have good PK properties and show promise for further development.

IT 866559-58-2P 866559-59-3P 895132-47-5P
895132-61-3P 895132-64-6P 895132-67-9P
1156439-27-8P 1156439-28-9P 1156439-29-0P
1156439-32-5P 1156439-34-7P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)

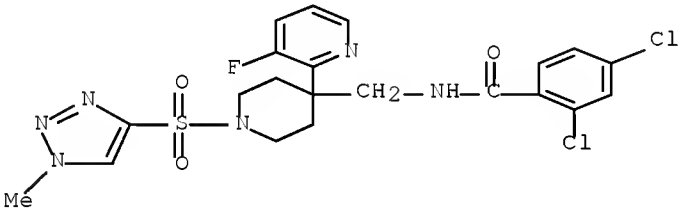
RN 866559-58-2 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



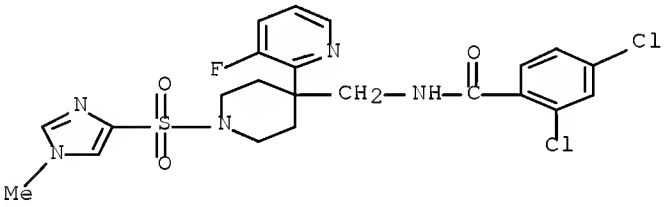
RN 866559-59-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-47-5 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

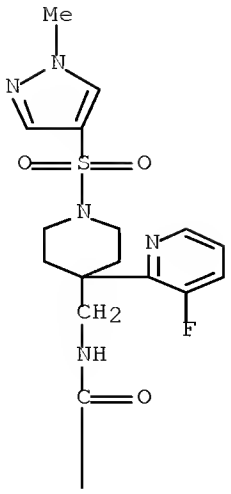


RN 895132-61-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

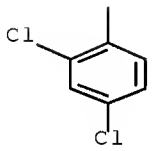


RN 895132-64-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

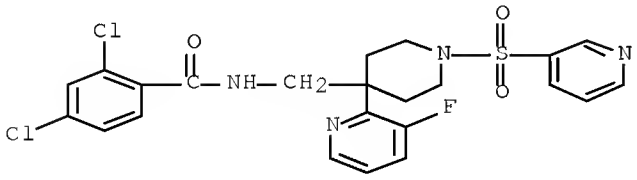
PAGE 1-A



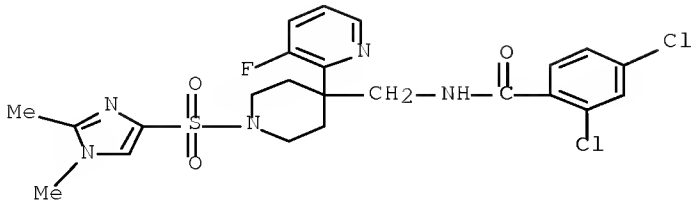
PAGE 2-A



RN 895132-67-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(3-pyridinylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1156439-27-8 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1156439-28-9 HCAPLUS
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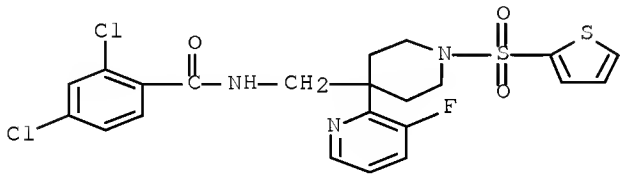
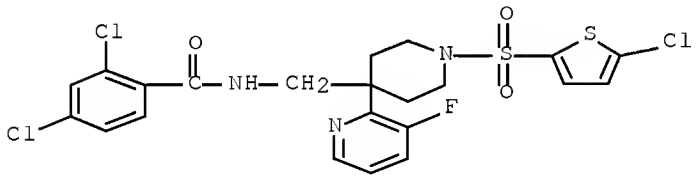
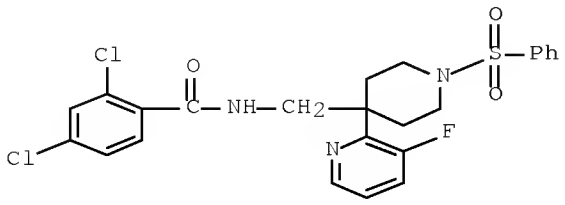


RN 1156439-29-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(5-chloro-2-thienyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1156439-32-5 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(phenylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1156439-34-7 HCAPLUS
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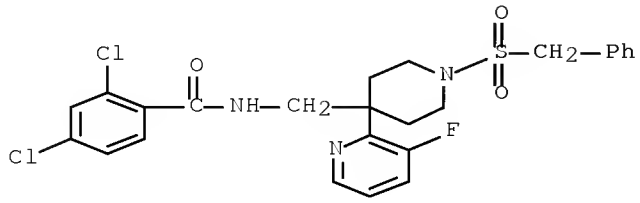
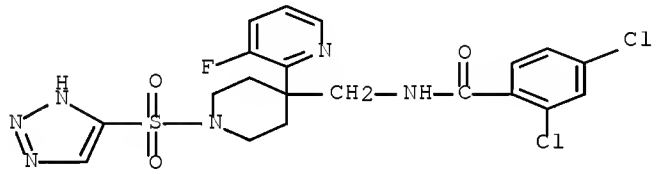
[(phenylmethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



IT 895132-42-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)
RN 895132-42-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-ylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:221549 HCAPLUS Full-text
DOCUMENT NUMBER: 150:463324
TITLE: Discovery of GlyT1 inhibitors with improved pharmacokinetic properties
AUTHOR(S): Wolkenberg, Scott E.; Zhao, Zhijian; Wisnoski, David D.; Leister, William H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller, Philip R.; Smith, Sheri; Gibson, Christopher; Ma, Bennett K.; Polsky-Fisher, Stacey L.; Lindsley, Craig W.; Hartman, George D.
CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck & Co., Inc., West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(5), 1492-1495
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:463324

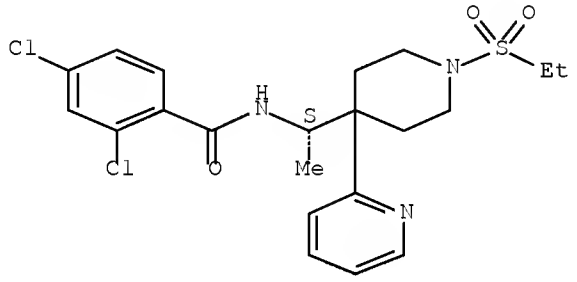
AB Glycine transporter 1 (GlyT1) represents a novel target for the treatment of schizophrenia via the potentiation of glutamatergic NMDA receptors. The discovery of 4,4-disubstituted piperidine inhibitors of GlyT1 which exhibit improved pharmacokinetic properties, including oral bioavailability, is discussed.

IT 866558-70-5P 866558-88-5P 866558-90-9P
866558-91-0P 866558-92-1P 866558-97-6P
1146663-97-9P 1146663-99-1P 1146664-03-0P
1146664-08-5P 1146664-10-9P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)

RN 866558-70-5 HCAPLUS

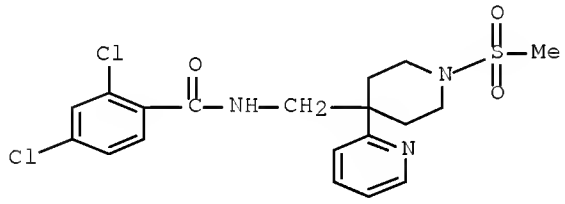
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866558-88-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(methylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-90-9 HCAPLUS

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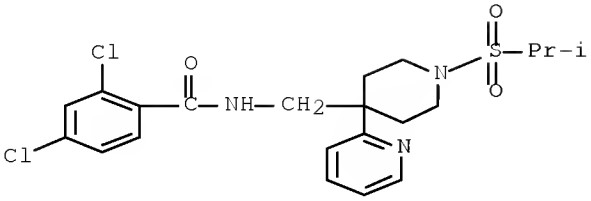
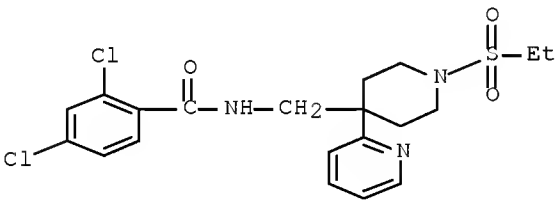


RN 866558-91-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-92-1 HCAPLUS
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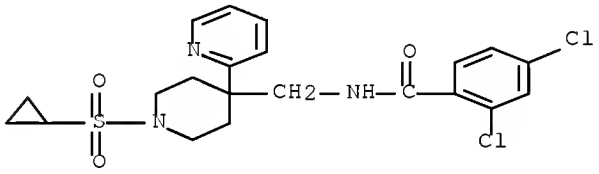

RN 866558-97-6 HCAPLUS
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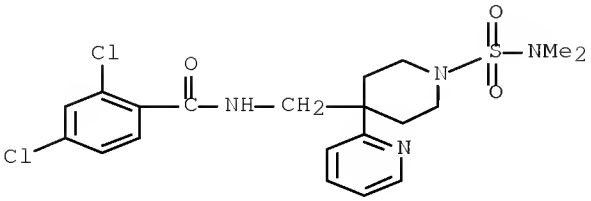
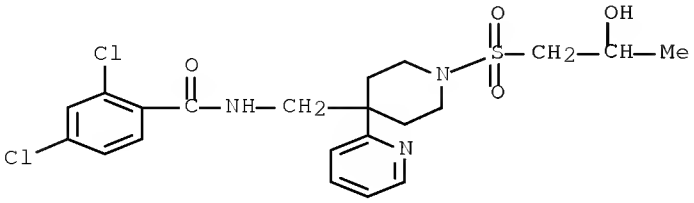


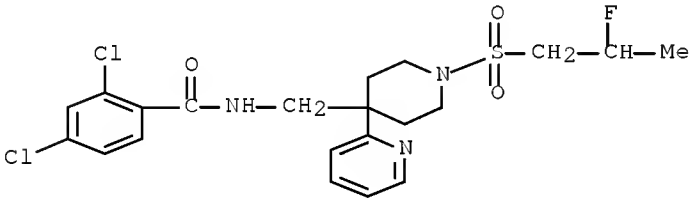
RN 1146663-97-9 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(2-hydroxypropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146663-99-1 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(2-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146664-03-0 HCAPLUS

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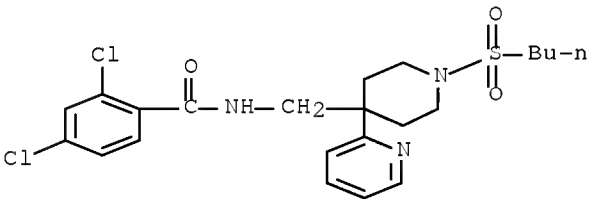
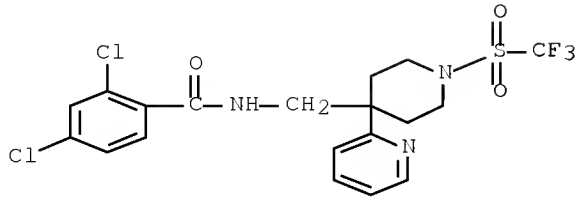


RN 1146664-08-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(2-pyridinyl)-1-[(trifluoromethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146664-10-9 HCAPLUS
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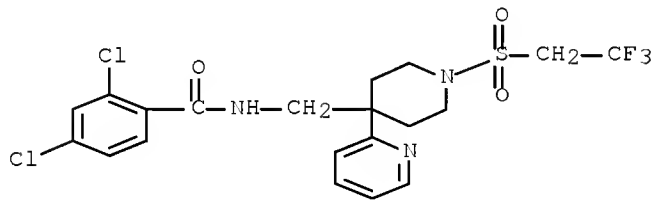
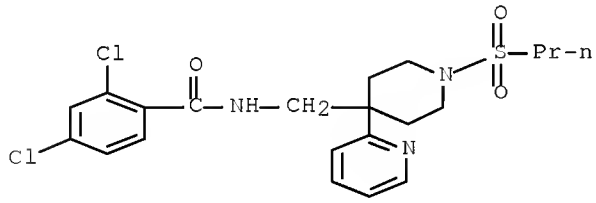


IT 866558-75-0
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)
RN 866558-75-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:221548 HCAPLUS Full-text
DOCUMENT NUMBER: 150:463323
TITLE: Discovery of N-{[1-(propylsulfonyl)-4-pyridin-2-ylpiperidin-4-yl]methyl}benzamides as novel, selective

and potent GlyT1 inhibitors

AUTHOR(S): Zhao, Zhijian; Leister, William H.; O'Brien, Julie A.; Lemaire, Wei; Williams, David L.; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller, Philip R.; Smith, Sheri; Hartman, George D.; Lindsley, Craig W.; Wolkenberg, Scott E.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck & Co., Inc., West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(5), 1488-1491
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

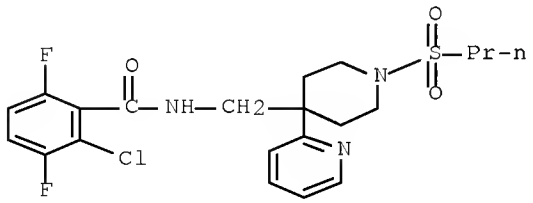
OTHER SOURCE(S): CASREACT 150:463323

AB Employing an iterative analog library approach, novel potent and selective glycine transporter 1 (GlyT1) inhibitors containing a 4-pyridin-2-ylpiperidine sulfonamide have been discovered. These inhibitors are devoid of time-dependent CYP inhibition activity and exhibit improved aqueous solubility vs. the corresponding 4-phenylpiperidine analogs.

IT 866558-67-0P 866558-72-7P 866558-73-8P
866558-75-0P 866558-77-2P 866559-14-0P
936481-41-3P 936481-42-4P 1146403-32-8P
1146403-33-9P 1146403-35-1P 1146403-36-2P
1146403-37-3P 1146403-39-5P 1146403-42-0P
1146403-43-1P 1146403-45-3P 1146403-68-0P
1146403-69-1P 1146403-71-5P 1146403-74-8P
1146403-75-9P 1146403-82-8P 1146403-84-0P
1146403-86-2P 1146403-87-3P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)

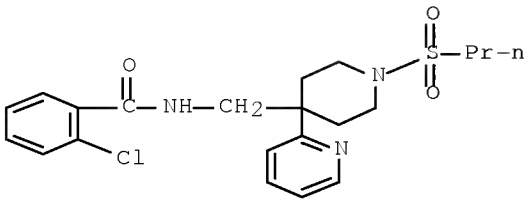
RN 866558-67-0 HCAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

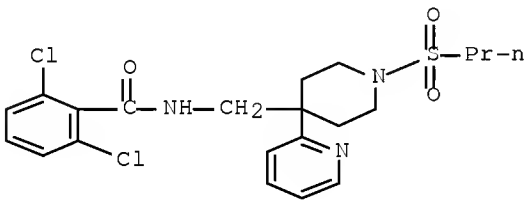


RN 866558-72-7 HCAPLUS

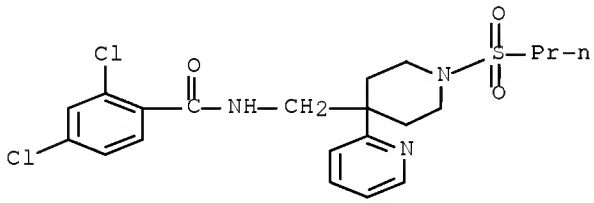
CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-73-8 HCAPLUS
CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-75-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-77-2 HCAPLUS
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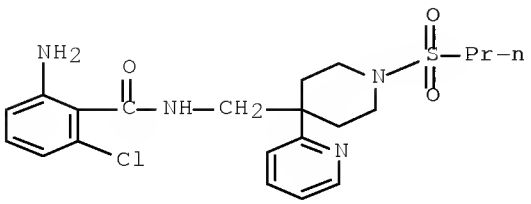
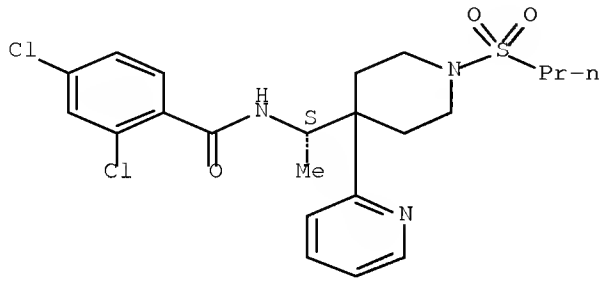
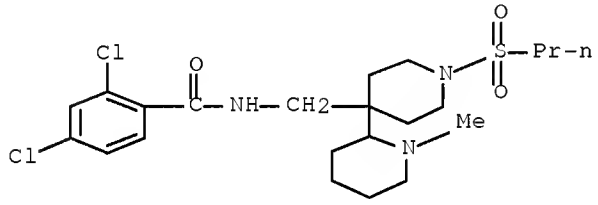


RN 866559-14-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

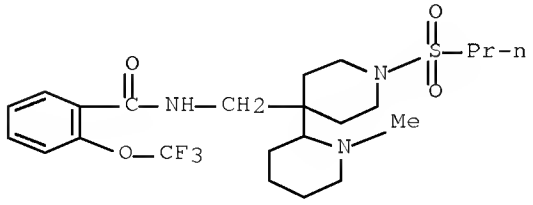
Absolute stereochemistry.



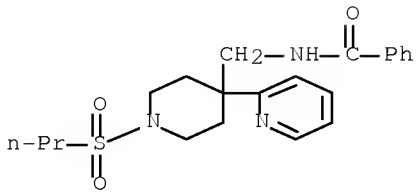
RN 936481-41-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



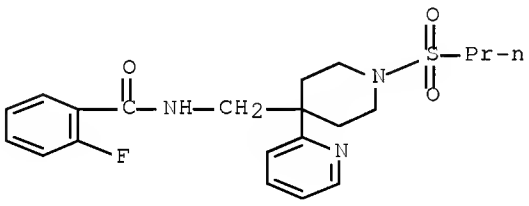
RN 936481-42-4 HCAPLUS
CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 1146403-32-8 HCAPLUS
CN Benzamide, N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-33-9 HCAPLUS
CN Benzamide, 2-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-35-1 HCAPLUS
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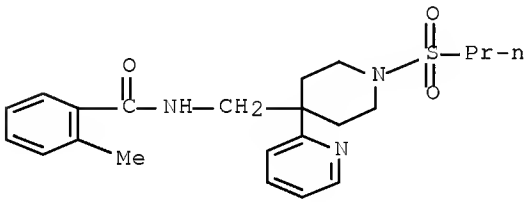
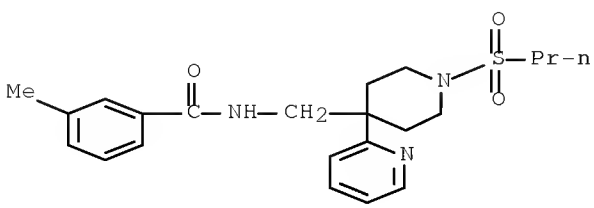
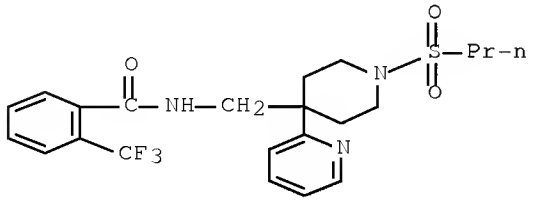


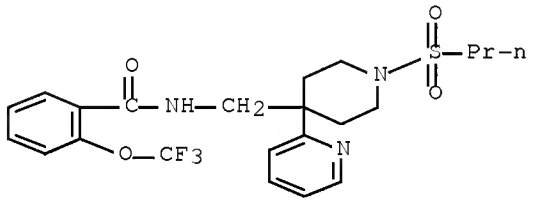
RN 1146403-36-2 HCAPLUS
CN Benzamide, 3-methyl-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



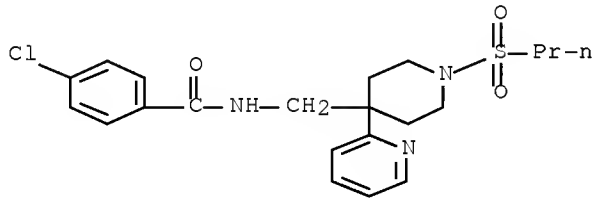
RN 1146403-37-3 HCAPLUS
CN Benzamide, N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)



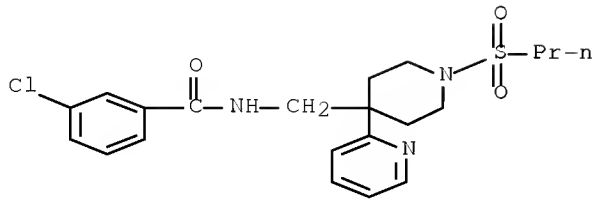
RN 1146403-39-5 HCAPLUS
CN Benzamide, N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 1146403-42-0 HCAPLUS
CN Benzamide, 4-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-43-1 HCAPLUS
CN Benzamide, 3-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-45-3 HCAPLUS
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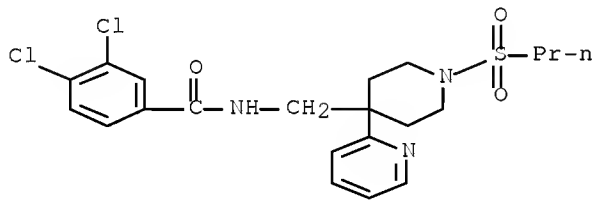
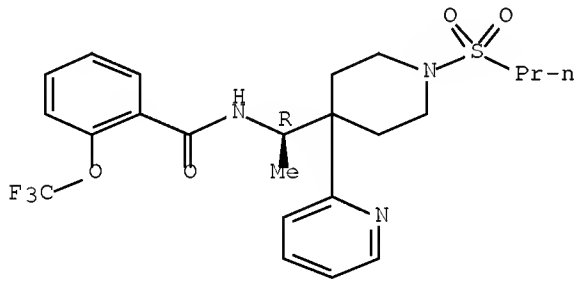


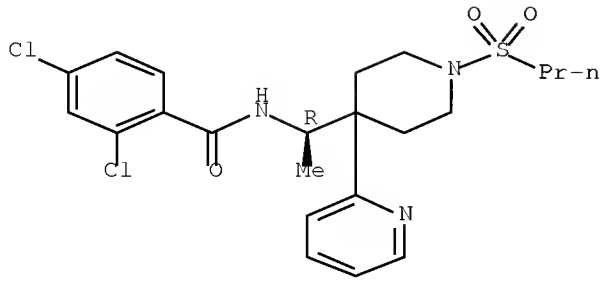
RN 1146403-68-0 HCAPLUS
CN Benzamide, N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.



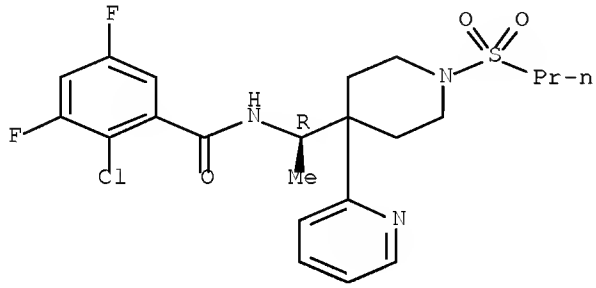
RN 1146403-69-1 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



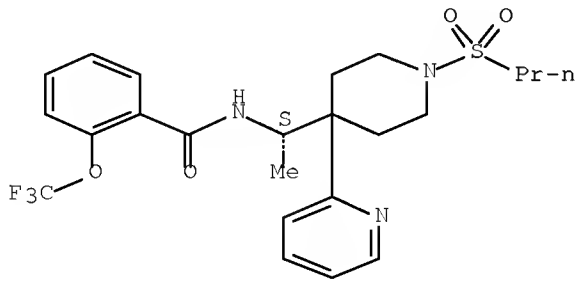
RN 1146403-71-5 HCAPLUS
CN Benzamide, 2-chloro-3,5-difluoro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



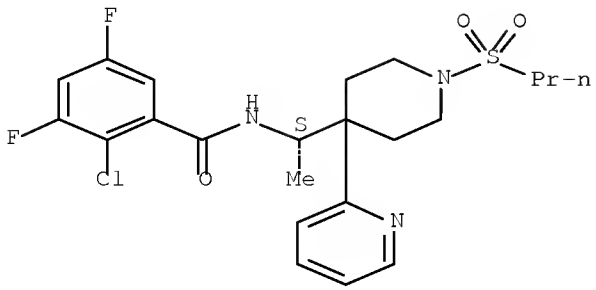
RN 1146403-74-8 HCAPLUS
CN Benzamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

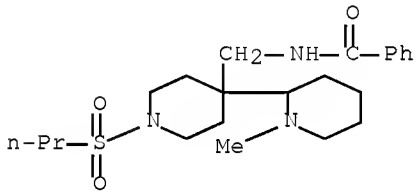


RN 1146403-75-9 HCAPLUS
CN Benzamide, 2-chloro-3,5-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

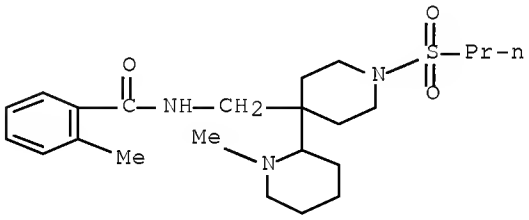
Absolute stereochemistry.



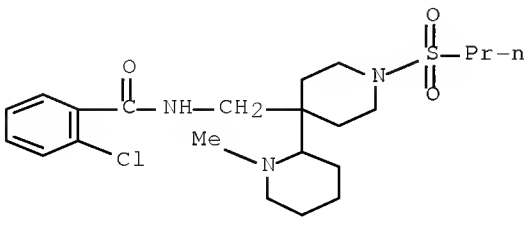
RN 1146403-82-8 HCAPLUS
CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



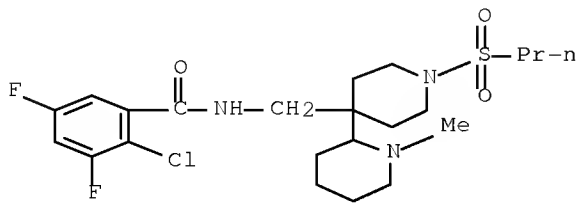
RN 1146403-84-0 HCAPLUS
CN Benzamide, 2-methyl-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



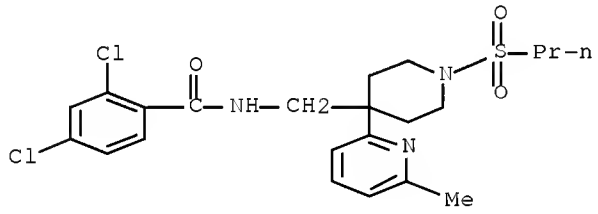
RN 1146403-86-2 HCAPLUS
CN Benzamide, 2-chloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



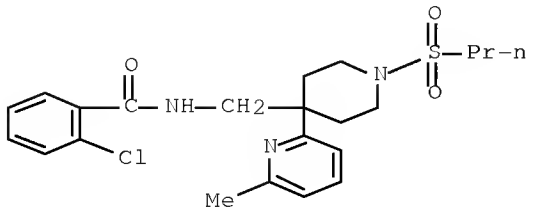
RN 1146403-87-3 HCAPLUS
CN Benzamide, 2-chloro-3,5-difluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



IT 866558-69-2 866558-79-4 866558-87-4
866559-00-4 1146403-53-3 1146403-54-4
1146403-55-5 1146403-57-7 1146403-59-9
1146403-60-2 1146403-61-3 1146403-63-5
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)
RN 866558-69-2 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

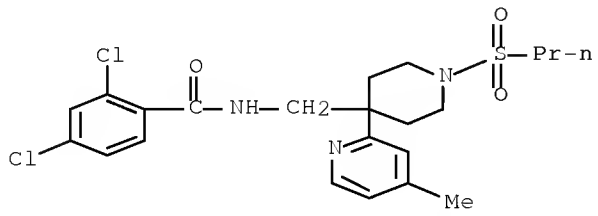


RN 866558-79-4 HCAPLUS
CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



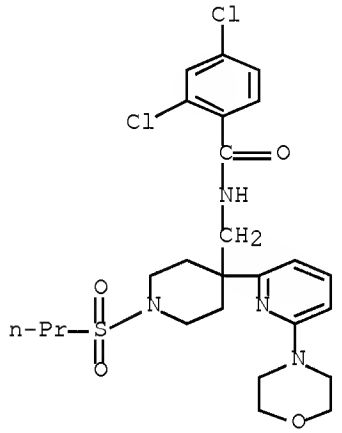
RN 866558-87-4 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



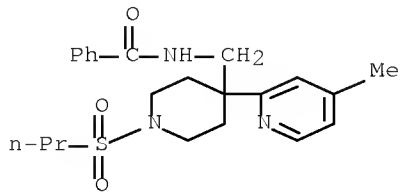
RN 866559-00-4 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



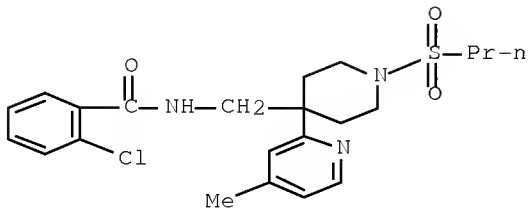
RN 1146403-53-3 HCAPLUS

CN Benzamide, N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

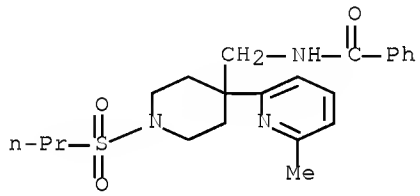


RN 1146403-54-4 HCAPLUS

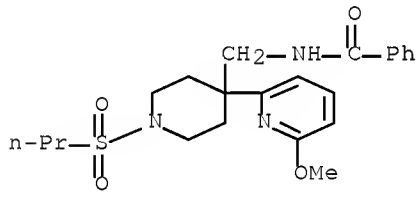
CN Benzamide, 2-chloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



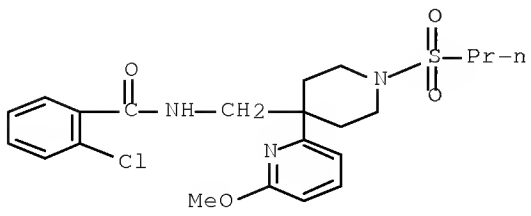
RN 1146403-55-5 HCAPLUS
CN Benzamide, N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



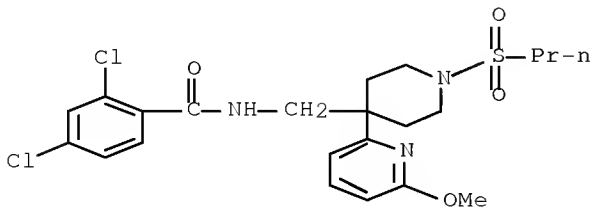
RN 1146403-57-7 HCAPLUS
CN Benzamide, N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



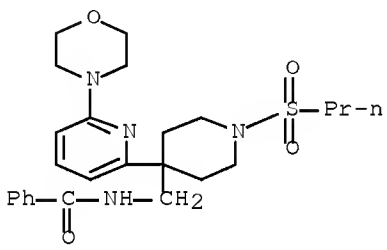
RN 1146403-59-9 HCAPLUS
CN Benzamide, 2-chloro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



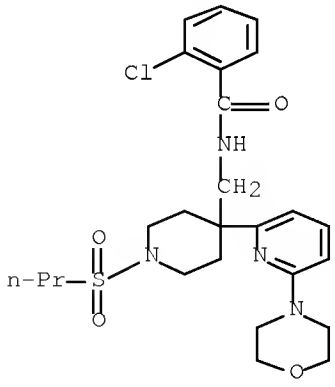
RN 1146403-60-2 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-61-3 HCAPLUS
CN Benzamide, N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-63-5 HCAPLUS
CN Benzamide, 2-chloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT:	4	THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT:	23	THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 8 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 151:148145 MARPAT Full-text
TITLE: Preparation of (phenylalkyl)phenylpiperidinone derivatives for use as lactam inhibitors of 11-beta-hydroxysteroid dehydrogenase 1
INVENTOR(S): Claremon, David A.; Zhuang, Linghang; Ye, Yuanjie; Singh, Suresh B.; Tice, Colin M.; Xu, Zhenrong; Simpson, Robert D.
PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 197pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

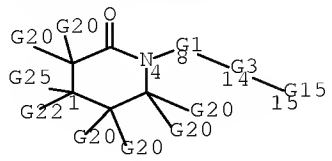
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009088997	A1	20090716	WO 2009-US57	20090107

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-10300P 20080107

AB Title compds. I [A = bond, alkylene, OEt wherein the O is attached to Q, or CH2C(O) wherein the carbonyl carbon is attached to Q; E = bond, (un)substituted alkylene, or alkenyloxy wherein the O is attached to R2; Q = (un)substituted aryl, heteroaryl, monocyclic cycloalkyl, or monocyclic heterocyclyl; X = bond, O, S, (un)substituted alkylene, etc.; Y = alkyl or haloalkyl; Z = H, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R1 = absent, (un)substituted alkyl, alkenyl, etc.; R2 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl, or heterocyclyl; R3 = (un)substituted alkyl, alkenyl, alkynyl, etc.; n = 0 to 2], and their pharmaceutically acceptable salts, are prepared and disclosed as lactam inhibitors of 11β-hydroxysteroid dehydrogenase 1 (11β-HSD1). Thus, e.g., II was prepared by condensation of 3-chloro-1-phenylpropan-1-one with Et bromoacetate followed by alkynylation with allyltrimethylsilane, and cyclization with (S)-1-(4-bromophenyl)ethanamine. Select I were evaluated in 11β-HSD1 inhibition assays (data given).

MSTR 1



G1 = bond
G3 = any ring <containing zero or more N, zero or more O, zero or more S (no other heteroatoms), 0 or more double bonds> (opt. substd. by (1-4) G4)
G4 = OH
G15 = any ring <containing zero or more N, zero or more O, zero or more S (no other heteroatoms), 0 or more double bonds> (opt. substd. by (1-4) G4)
G16 = alkyl <containing 1-6 C> (substd. by OH)
G22 = pyridyl (opt. substd.)
G25 = 68 / 70

$_{68}$G26—G27 $_{70}$G26—G31

G26 = carbon chain <containing 2-6 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
G31 = 92

$_{92}$G33—G16

G33 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional substitution and ring formation also claimed
Stereochemistry: or enantiomers or diastereomers

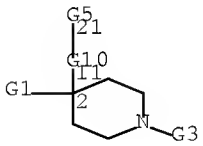
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 9 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 137:325334 MARPAT Full-text
TITLE: Preparation of aryl and biaryl piperidines as MCH antagonists
INVENTOR(S): Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu, Suresh D.; Shao, Yuefei
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083134	A1	20021024	WO 2002-US11296	20020410
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2443672	A1	20021024	CA 2002-2443672	20020410
AU 2002303299	A1	20021028	AU 2002-303299	20020410
US 20030013720	A1	20030116	US 2002-120080	20020410
US 6887889	B2	20050503		
EP 1377293	A1	20040107	EP 2002-731318	20020410
EP 1377293	B1	20100310		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004526761	T	20040902	JP 2002-580938	20020410
JP 4280073	B2	20090617		
AT 460163	T	20100315	AT 2002-731318	20020410
MX 2003009353	A	20040212	MX 2003-9353	20031010
JP 2009102430	A	20090514	JP 2009-26802	20090206
PRIORITY APPLN. INFO.:			US 2001-283523P	20010412
			JP 2002-580938	20020410
			WO 2002-US11296	20020410

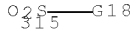
AB The title compds. [I; Ar1 = (un)substituted Ph, pyridyl, pyrimidyl, etc.; Z = R4, COR4, SO2R4, etc.; R2 = H, alkyl, alkyl substituted with cycloalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = Ph, phenylalkyl], useful for treatment, prevention or amelioration of one or more of diseases associated with the MCH receptor, were prepared E.g., a 7-step synthesis of II, starting from 3,4-difluorophenyl isocyanate, which showed Ki of 11-100 nM against MCH, was given. This invention provides also pharmaceutical compns. containing one or more of the compds. I for treatment of eating disorders.

MSTR 1

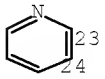


G1 = 8 / 419

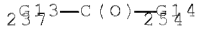
G3 = 315



G10 = 23-2 24-21



G12 = 237-10 254-8



G13 = bond
G14 = NH
G22 = C(O)
Patent location: claim 1
Note: additional methylenedioxy formation also claimed
Note: and N-oxides
Note: also incorporates claim 17
Note: and tautomers, and prodrugs, and pharmaceutically acceptable salts
Stereochemistry: and enantiomers, stereoisomers, rotamers, racemates

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

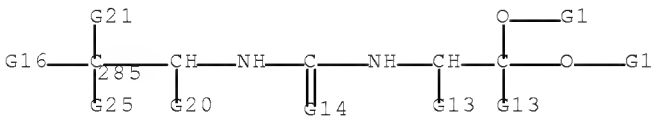
L63 ANSWER 10 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 131:257564 MARPAT Full-text
TITLE: Preparation of 1-pyridylalkyl-2-oxo-4-imidazolines and analogs as cytokine and PDE-IV inhibitors
INVENTOR(S): Freyne, Eddy Jean Edgard; Diels, Gaston Stanislas Marcella; Matesanz-Ballesteros, Maria Encarnacion; Diaz-Martinez, Adolfo
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950262	A1	19991007	WO 1999-EP2045	19990324
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2326045	A1	19991007	CA 1999-2326045	19990324

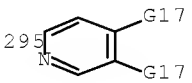
CA 2326045	C	20081209		
AU 9931474	A	19991018	AU 1999-31474	19990324
AU 760771	B2	20030522		
BR 9909326	A	20001212	BR 1999-9326	19990324
TR 2000002801	T2	20001221	TR 2000-2801	19990324
EP 1068194	A1	20010117	EP 1999-913302	19990324
EP 1068194	B1	20031105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002509927	T	20020402	JP 2000-541166	19990324
EE 200000569	A	20020415	EE 2000-569	19990324
EE 4718	B1	20061016		
HU 2001001239	A2	20020529	HU 2001-1239	19990324
NZ 507022	A	20020628	NZ 1999-507022	19990324
AT 253569	T	20031115	AT 1999-913302	19990324
PT 1068194	E	20040331	PT 1999-913302	19990324
ES 2211061	T3	20040701	ES 1999-913302	19990324
CN 1172930	C	20041027	CN 1999-804737	19990324
SK 284468	B6	20050401	SK 2000-1387	19990324
IL 138745	A	20070617	IL 1999-138745	19990324
PL 196026	B1	20071130	PL 1999-343194	19990324
CZ 298747	B6	20080116	CZ 2000-3338	19990324
TW 242558	B	20051101	TW 1999-88104881	19990329
IN 2000MN00287	A	20050304	IN 2000-MN287	20000810
IN 227702	A1	20090306		
BG 104718	A	20010430	BG 2000-104718	20000828
BG 64705	B1	20051230		
HR 2000000619	A2	20011031	HR 2000-619	20000919
HR 2000000619	B1	20040630		
NO 2000004906	A	20001128	NO 2000-4906	20000929
NO 319531	B1	20050829		
MX 2000009632	A	20010405	MX 2000-9632	20000929
US 6656959	B1	20031202	US 2000-647668	20000929
ZA 2000006190	A	20011031	ZA 2000-6190	20001031
HK 1033579	A1	20040227	HK 2001-103462	20010519
PRIORITY APPLN. INFO.:			EP 1998-201020	19980401
			WO 1999-EP2045	19990324

AB Title compds. [I; R = H, alk(en)yl, piperidyl, alkylsulfonyl, etc.; R1,R4,R5 = H or alkyl; R2 = H, halo, alkoxy(carbonyl), aryl, etc.; R1R2 = (CH2)1-4; R3 = H, halo, OH, alkyl(oxy); R6 = 5,6-dihydroxy- or -dialkoxy-2-pyridyl, etc.; dashed line = optional bond] were prepared as cytokine (no data) and PDE-IV inhibitors. Thus, 6-(2-amino-1-methylethyl)-4-cyclopentyloxy-3-pyridinol was amidated by ClCO2Ph and the product amidated by (MeO)2CHCH2NH2 to give, after cyclization, I (R = R1 = R2 = R4 = R5 = H, R3 = Me, R6 = 4-cyclopentyloxy-5-hydroxy-2-pyridyl). Data for PDE-IV inhibition of I were given.

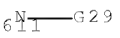
MSTR 2



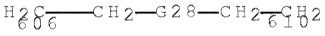
G14 = O
G16 = 295



G28 = 611



G29 = alkylsulfonyl <containing 1-6 C>
G21+G25= 606-285 610-285



Patent location: claim 10

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

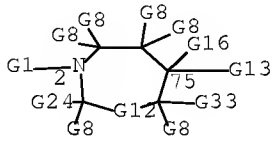
L63 ANSWER 11 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 130:282070 MARPAT Full-text
TITLE: Preparation of N-[[1-(4-cyanobenzyl)-1H-imidazol-5-yl]methyl]piperidines and analogs as farnesyl protein transferase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Embrey, Mark W.; Fisher, Thorsten E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 91 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5891889	A	19990406	US 1997-831308	19970401
US 6248756	B1	20010619	US 1999-248883	19990211
PRIORITY APPLN. INFO.:			US 1996-14791P	19960403
			US 1997-831308	19970401

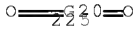
AB The invention is directed to compds. which inhibit farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compns. containing the compds., and methods for inhibiting FPTase and Ras farnesylation using them. In particular, title compds. I and II and their pharmaceutically acceptable salts are claimed [wherein Ar = (un)substituted Ph; R1 = H, Me; Q1 = (un)substituted (CH2)0-4; X = bond, CH2, CO, (un)substituted NHCO, S, SO, or SO2; Y = H, (un)substituted alkyl, OH or derivs., SH or derivs., NH2 or derivs., etc.; X1 = bond, (un)substituted NHCO or NH, O, S, SO, SO2; A1,A2 = bond, CH:CH, CO, O, (alkyl)imino, etc.; Q2 = (un)substituted (CH2)0-2; Z = (un)substituted aryl; addnl. substituents allowed on piperidine ring]. Over 130

invention compds. and numerous intermediates were prepared For instance, the invention compound III was claimed in particular, and was prepared in 5 steps. Thus, Et isonipecotate underwent a sequence of: (1) N-protection with BOC; (2) deprotonation and alkylation in the 4-position using NaN(SiMe3)2 and 3-(CF3O)C6H4CH2Br; (3) reduction of the Et ester to a hydroxymethyl group using LiAlH4; (4) removal of the BOC group with HCl; and (5) reductive alkylation at N using 1-(4-cyanobenzyl)imidazole-5-carboxaldehyde and NaBH3CN, yielding III after chromatog. In a test for inhibition of farnesylation of Ras-CVIM with human FPTase in vitro, almost all example compds. had IC50 of ≤ 50 μM.

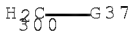
MSTR 1



G1 = 225



G12 = (0-1) CH2 (opt. substd.)
G13 = pyridyl
G16 = 300



G20 = heterocycle <containing 5-11 atoms,
1-4 heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), mono- or bicyclic>
(opt. substd.)
G37 = NHCONH2
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates broader disclosure

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 12 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 129:67708 MARPAT Full-text
TITLE: Preparation of 8-azabicyclo[3.2.1]octane,
8-azabicyclo[3.2.1]oct-6-ene,
9-azabicyclo[3.3.1]nonane,
9-aza-3-oxabicyclo[3.3.1]nonane, and
9-aza-3-thiabicyclo[3.3.1]nonane derivatives as

insecticides

INVENTOR(S): Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Raymond; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian; et al.

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825924	A1	19980618	WO 1997-GB3054	19971106
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9709840	A	19980526	ZA 1997-9840	19971031
CA 2271749	A1	19980618	CA 1997-2271749	19971106
AU 9748761	A	19980703	AU 1997-48761	19971106
AU 719147	B2	20000504		
EP 944627	A1	19990929	EP 1997-911349	19971106
EP 944627	B1	20040218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9713136	A	20000208	BR 1997-13136	19971106
CN 1245499	A	20000223	CN 1997-181520	19971106
SI 20020	A	20000229	SI 1997-20086	19971106
HU 2000000582	A2	20000628	HU 2000-582	19971106
HU 2000000582	A3	20011029		
JP 2001506989	T	20010529	JP 1998-526332	19971106
AT 259806	T	20040315	AT 1997-911349	19971106
ES 2216131	T3	20041016	ES 1997-911349	19971106
US 5968947	A	19991019	US 1997-969978	19971113
EG 21556	A	20011231	EG 1997-1269	19971126
US 6174894	B1	20010116	US 1999-357749	19990721
US 6177442	B1	20010123	US 1999-357750	19990721
US 6291474	B1	20010918	US 2000-635879	20000810
US 20020061913	A1	20020523	US 2001-886495	20010622

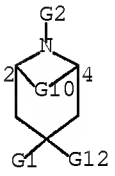
PRIORITY APPLN. INFO.:

GB 1996-24516 19961126
GB 1996-24611 19961126
GB 1996-24614 19961126
WO 1997-GB3054 19971106
US 1997-969639 19971113
US 1997-969978 19971113
US 1999-357750 19990721
US 2000-635879 20000810

AB Compds. of formula [I; A = a bidentate group of the formula CH2XCH2 (wherein X = methylene, O, or S), X'C:CY or X'WCCYZ (wherein X', W, Y, Z = H, OH, acyloxy, alkoxy, alkylsilyloxy, cyano or halogen, or X' and W or Y and Z together with the carbon to which they are attached form a carbonyl group), provided that A ≠ CH2CH2; Ar = optionally substituted Ph or 5- or 6-membered heterocyclic ring system containing from 1 to 3 heteroatoms individually selected from N, O and S atoms, and at least one unsatn. (double bond) between adjacent atoms in the ring, said

heterocyclic ring being optionally fused to a benzene ring; R = H or cyano or a group selected from alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamoyl, dithiocarboxyl, etc.; R1 = H, cyano, HO, alkyl, alkoxy, NH2, NO2, isocyanato, acylamino, hydroxyalkyl, optionally substituted heteroaryl, alkoxyalkyl, haloalkyl, halohydroxyalkyl, etc.; alkyl moieties of R comprise from 1 to 15 carbon atoms, and are optionally substituted with one or more substituents selected from, halogen, cyano, carboxyl, carboxyl acyl, etc.] or an acid addition salt, quaternary ammonium salt or N-oxide derived therefrom are prepared Also claimed are an insecticidal, acaricidal or nematicidal composition comprising a compound of formula I and a suitable carrier or diluent therefor and a method of combating and controlling insect, acarid or nematode pests at a locus which comprises treating the pests or the locus of the pests with an effective amount of a compound of formula I or a composition as hereinbefore described. Thus, exo-3-cyano-9-methyl-9-azabicyclo[3.3.1]nonane and 3,5-dichloropyridine (preparation given) in THF were treated dropwise with lithium bis(trimethylsilyl)amide, and the reaction mixture was allowed to react ambient temperature for 18 h to give I [A = (CH2)3, Ar = exo-5-chloropyridyl, R = Me, R1 = endo-cyano], which at 500 ppm showed 80-100% mortality against peach aphid (Myzus persicae).

MSTR 1



G1 = pyridyl (opt. substd. by 1 or more G11)
G2 = alkylsulfonyl <containing up to 15 C> (opt. substd.)
G12 = alkyl <containing 1-4 C> (substd. by NHCOPh)
Derivative: or acid addition salts, quaternary ammonium salts, or N-oxides
Patent location: claim 1
Note: additional substitution and ring formation also claimed

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

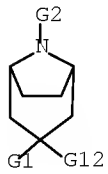
L63 ANSWER 13 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 129:67707 MARPAT Full-text
TITLE: Preparation of 8-azabicyclo[3.2.1]octane derivatives as insecticides, acaricides, and nematocides.
INVENTOR(S): Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Roger; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

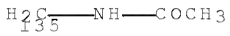
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825923	A1	19980618	WO 1997-GB2986	19971030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2272076	A1	19980618	CA 1997-2272076	19971030
AU 9747890	A	19980703	AU 1997-47890	19971030
EP 946553	A1	19991006	EP 1997-910543	19971030
EP 946553	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
BR 9713429	A	20000201	BR 1997-13429	19971030
CN 1245498	A	20000223	CN 1997-181522	19971030
JP 2001506988	T	20010529	JP 1998-526331	19971030
AT 231860	T	20030215	AT 1997-910543	19971030
ES 2186876	T3	20030516	ES 1997-910543	19971030
US 6093726	A	20000725	US 1997-969639	19971113
US 6174894	B1	20010116	US 1999-357749	19990721
US 6177442	B1	20010123	US 1999-357750	19990721
US 6291474	B1	20010918	US 2000-635879	20000810
US 20020061913	A1	20020523	US 2001-886495	20010622
PRIORITY APPLN. INFO.:			GB 1996-24611	19961126
			GB 1996-24516	19961126
			GB 1996-24614	19961126
			WO 1997-GB2986	19971030
			US 1997-969639	19971113
			US 1997-969978	19971113
			US 1999-357750	19990721
			US 2000-635879	20000810

AB Title compds. [I; Ar = (substituted) Ph, 5- or 6-membered heterocyclyl; R = H, cyano, alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamyl, dithiocarboxyl, etc.; R1 = H, OH, alkyl, alkoxy, amino, NO2, isocyanato, acylamino, hydroxyalkyl, (substituted) heteroaryl, alkoxyalkyl, etc.; with provisos], were prepared Thus, 2,5-dimethoxytetrahydrofuran, 2,2,2-trifluoroethylamine hydrochloride, acetonedicarboxylic acid, and NaOAc were stirred 2 days in H2O containing HCl to give 8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octan-3-one. This was treated with tosylmethyl isocyanide in 1,2-dimethoxyethane/ethanol to give exo-3-cyano-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter in THF was treated with LDA and 3,5-dichloropyridine at -25° to room temperature and the product was reduced with LiAlH4 in Et2O at -10° to give exo-3-(5-chloropyrid-3-yl)-endo-3-formyl-8-(2,2,2- trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter at 500 ppm on cabbage leaves gave 80-100% kill of Myzus persicae.

MSTR 1



G1 = pyridyl (opt. substd. by 1 or more G11)
G2 = alkylsulfonyl <containing up to 15 C>
(opt. substd.)
G12 = 135



Derivative: or acid addition salts, quaternary ammonium salts, or N-oxides
Patent location: claim 1
Note: additional substitution and ring formation also claimed
Note: also incorporates claim 8

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

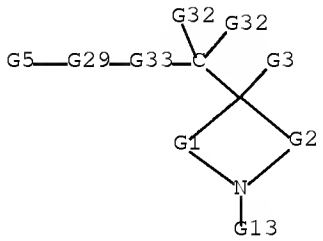
L63 ANSWER 14 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 123:313779 MARPAT Full-text
TITLE: Preparation of geminal-disubstituted azacyclic tachykinin antagonists
INVENTOR(S): Baker, Raymond; Lewis, Richard Thomas; Macleod, Angus Murray; Stevenson, Graeme Irvine
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519344	A1	19950720	WO 1995-GB57	19950112
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2180746	A1	19950720	CA 1995-2180746	19950112
AU 9513902	A	19950801	AU 1995-13902	19950112
AU 685212	B2	19980115		
EP 739336	A1	19961030	EP 1995-905204	19950112
EP 739336	B1	19980826		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

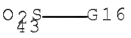
JP 09507500	T	19970729	JP 1995-518907	19950112
AT 170174	T	19980915	AT 1995-905204	19950112
ES 2120170	T3	19981016	ES 1995-905204	19950112
US 5760018	A	19980602	US 1996-676152	19960711
PRIORITY APPLN. INFO.:			GB 1994-542	19940113
			GB 1994-3072	19940217
			WO 1995-GB57	19950112

AB The title compds. [I; A1, A2 = H, C1-4 alkyl; m = 2-4; n = 0-2; R1, R2 = (un)substituted Ph; R3 = H, COR9, CO2R10, COCONR10R11, COCO2R10, SO2R15, etc.; R4 = C1-6 alkyl substituted by a hydroxy group, (CH2)pNR10R11, CO2R16, CONR10R11, etc.; R5 = H, C1-6 alkyl; R6, R7 = H, C1-6 alkyl; R9 = alkyl, cycloalkyl, Ph; R10, R11 = H, alkyl; R15 = alkyl, CF3, (un)substituted Ph; R16 = alkyl; p = 1-4; X = O, (un)substituted NH], useful as tachykinin antagonists (no data) for the treatment of pain (no data), inflammation (no data), migraine (no data), and emesis (no data), are prepared Thus, 4-phenyl-4-[[1-[3,5-(trifluoromethyl)phenyl]-2-hydroxyethoxy]methyl]piperidine hydrochloride (m.p. 198-202°) was prepared from 4-phenyl-4-carboxypiperidine tosylate in 5 steps.

MSTR 1



G1 = (2-4) CH2 (opt. substd. by alkyl <containing 1-4 C>)
G2 = (0-2) CH2 (opt. substd. by alkyl <containing 1-4 C>)
G3 = pyridyl (opt. substd.)
G13 = 43



G29 = cycloalkylene <containing 3-6 C, attached through 1-3 C> (opt. substd. by (1-2) G31)
G31 = alkoxy <containing 1-6 C>
G33 = NH
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 15 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 121:205217 MARPAT Full-text
TITLE: 4-(aminomethyl/thiomethyl/sulfonylmethyl)-4-phenylpiperidine tachykinin receptor antagonists

INVENTOR(S): Macleod, Angus Murray; Stevenson, Graeme Irvine
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413639	A1	19940623	WO 1993-GB2535	19931210
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150951	A1	19940623	CA 1993-2150951	19931210
AU 9456573	A	19940704	AU 1994-56573	19931210
AU 682838	B2	19971023		
EP 673367	A1	19950927	EP 1994-902065	19931210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
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PRIORITY APPLN. INFO.:			GB 1992-26014	19921214
			GB 1993-13726	19930702
			GB 1993-14486	19930712
			WO 1993-GB2535	19931210

AB The title compds. [I; R1, R2 = (un)substituted C1-6 alkyl, alkenyl, alkynyl, halogen, CN, NO2, CF3, etc.; R3 = H, (un)substituted alkylcarbonyl, (un)substituted CO2H, (un)substituted CONH2, etc.; R5-R8 = H, C1-6 alkyl; X = NR4, SO, SO2; R4 = H, alkyl, CHO, Bz, alkylcarbonyl; m = 2-4; n = 0-2 when m = 2-3 and n = 0-1 when m = 4], useful as tachykinin receptor antagonists (no data), are prepared Thus, 4-(2-methoxybenzylaminomethyl)-4-phenylpiperidine dihydrochloride, m.p. 78-80°, was prepared from 4-cyano-4-phenylpiperidine hydrochloride in 4 steps.

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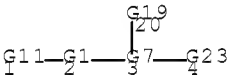

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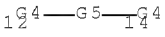

G4 = C(O)
G5 = NH
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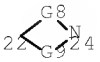
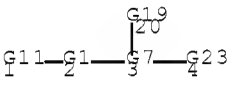


G8 = (0-2) CH2
G9 = (2-3) CH2
G19 = pyridyl
G23 = 68

Derivative: or a salt or prodrug
Patent location: claim 1

MSTR 1



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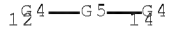

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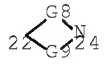

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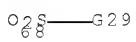

Derivative: or a salt or prodrug
Patent location: claim 1

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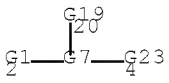

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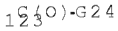

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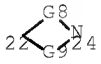
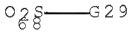


G8 = (0-2) CH2
G9 = (2-3) CH2
G19 = pyridyl
G23 = 68



Patent location: claim 14
Note: structure also incorporates claim 15 and 17

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR SEARCH

=> FILE HCAPLUS
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 May 2010 VOL 152 ISS 22
FILE LAST UPDATED: 20 May 2010 (20100520/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L37
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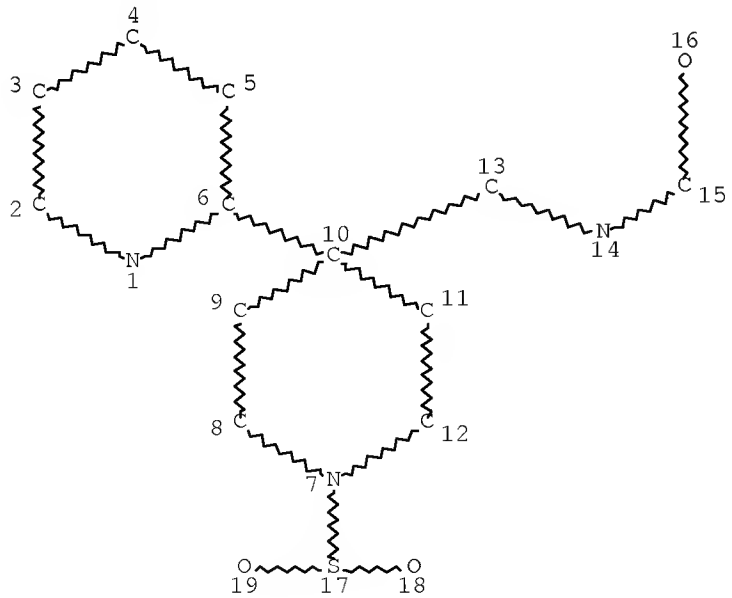

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NUMBER OF NODES IS  19

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COPYRIGHT (C) 2010 THOMSON REUTERS

FILE LAST UPDATED:          14 MAY 2010   <20100514/UP>
MOST RECENT UPDATE:           201031      <201031/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
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    No update date (UP) has been created for the reclassified
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>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)
    STN USER DOCUMENTATION, PLEASE VISIT:
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>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS  <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

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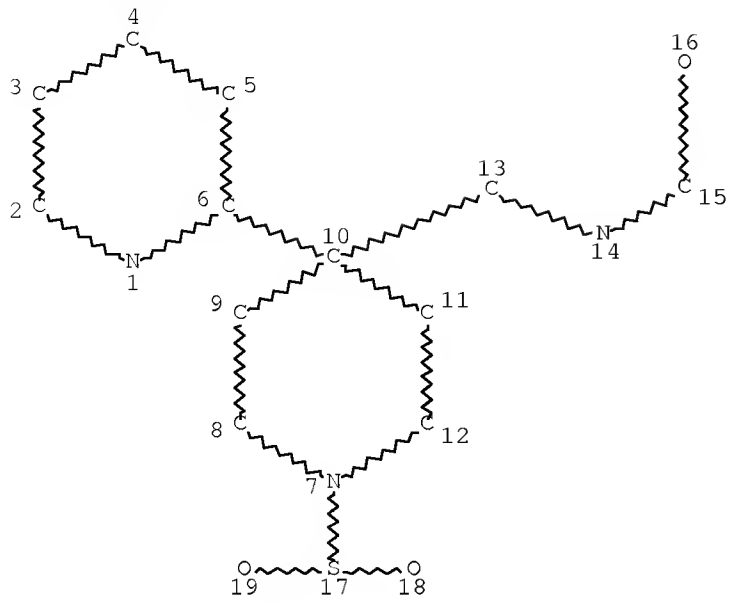


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L53        39635 SEA SPE=ON  ABB=ON  PLU=ON  WANG Y?/AU
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L56         5813 SEA SPE=ON  ABB=ON  PLU=ON  ZHAO Z?/AU
L57            3 SEA SPE=ON  ABB=ON  PLU=ON  L42 AND ((L43 OR L44 OR L45 OR L46
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     FILE 'BEILSTEIN' ENTERED AT 16:01:06 ON 21 MAY 2010
L60            0 SEA SPE=ON  ABB=ON  PLU=ON  L39

     FILE 'MARPAT' ENTERED AT 16:01:17 ON 21 MAY 2010
L61            1 SEA SSS SAM L38
L62           12 SEA SSS FUL L38

     FILE 'REGISTRY' ENTERED AT 16:02:05 ON 21 MAY 2010
              D STAT QUE L3

     FILE 'WPIX' ENTERED AT 16:02:15 ON 21 MAY 2010
              D STAT QUE L42

     FILE 'MARPAT' ENTERED AT 16:02:29 ON 21 MAY 2010
              D STAT QUE L62

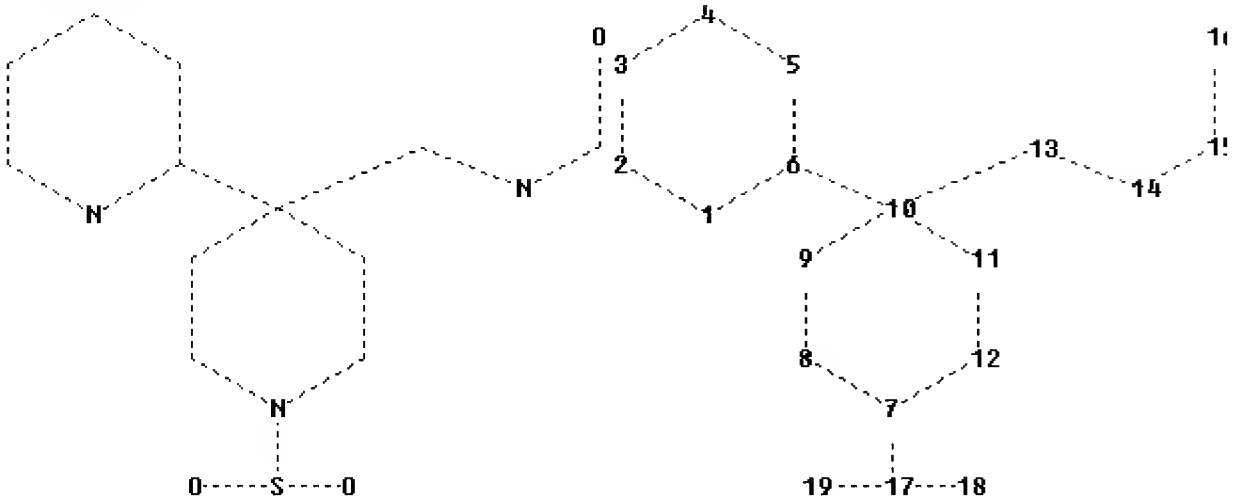
     FILE 'HCAPLUS, WPIX, MARPAT' ENTERED AT 16:02:50 ON 21 MAY 2010
L63           15 DUP REMOVE L3 L42 L62 (7 DUPLICATES REMOVED)
                      ANSWERS '1-7' FROM FILE HCAPLUS
                      ANSWERS '8-15' FROM FILE MARPAT
                 D L63 IBIB ABS HITSTR 1-7
                 D L63 IBIB AB QHIT 8-15

     FILE 'HCAPLUS' ENTERED AT 16:04:59 ON 21 MAY 2010
              D STAT QUE L37
L64            0 SEA SPE=ON  ABB=ON  PLU=ON  L37 NOT L3
```

```
     FILE 'WPIX' ENTERED AT 16:05:19 ON 21 MAY 2010
                D STAT QUE L59
L65             0 SEA SPE=ON  ABB=ON  PLU=ON  L59 NOT L42

=>

Uploading LL3.str
```




```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
ring/chain nodes :
13  14  15  16  17  18  19
chain bonds :
6-10  7-17  10-13  13-14  14-15  15-16  17-18  17-19
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  6-10  7-8  7-12  7-17  8-9  9-10  10-11  10-13  11-12
13-14  14-15  15-16  17-18  17-19


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  19:CLASS
```